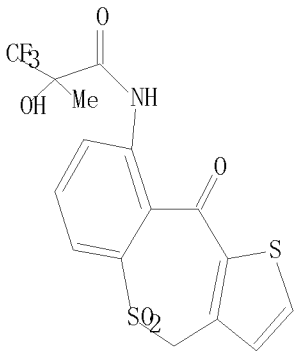
=> d his

(FILE 'HOME' ENTERED AT 19:52:11 ON 21 MAR 2009)

FILE 'REGISTRY' ENTERED AT 19:52:22 ON 21 MAR 2009
L1 STRUCTURE UPLOADED
L2 0 S L1
L3 16 S L1 FULL

=> d que l3 stat
L1 STR

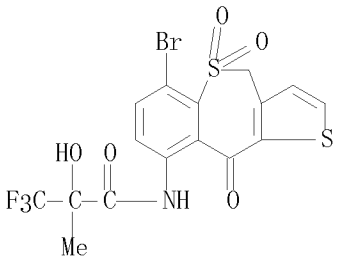


Structure attributes must be viewed using STN Express query preparation.
L3 16 SEA FILE=REGISTRY SSS FUL L1

100.0% PROCESSED 29 ITERATIONS 16 ANSWERS
SEARCH TIME: 00.00.01

=> d 1-16 ide can

L3 ANSWER 1 OF 16 REGISTRY COPYRIGHT 2009 ACS on STN
RN 1022178-87-5 REGISTRY
ED Entered STN: 23 May 2008
CN Propanamide, N-(6-bromo-4,10-dihydro-5,5-dioxido-10-oxothieno[3,2-c][1]benzothiepin-9-yl)-3,3,3-trifluoro-2-hydroxy-2-methyl- (CA INDEX NAME)
MF C16 H11 Br F3 N 05 S2
SR CA
LC STN Files: CA, CAPLUS



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

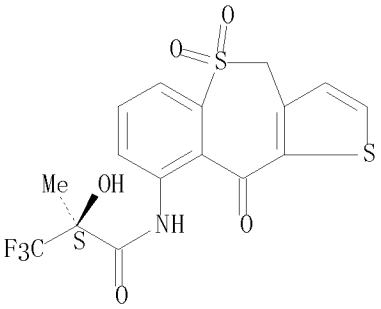
REFERENCE 1: 148:509925

L3 ANSWER 2 OF 16 REGISTRY COPYRIGHT 2009 ACS on STN
RN 827629-18-5 REGISTRY
ED Entered STN: 09 Feb 2005
CN Propanamide, N-(4,10-dihydro-5,5-dioxido-10-oxothieno[3,2-c][1]benzothiepin-9-yl)-3,3,3-trifluoro-2-hydroxy-2-methyl-, (2S)-, mixt. with 5-[(2R)-2-[[2-(2-ethoxyphenoxy)ethyl]amino]propyl]-2-methoxybenzenesulfonamide monohydrochloride (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C20 H28 N2 O5 S . C16 H12 F3 N O5 S2 . Cl H
CI MXS
SR CA
LC STN Files: CA, CAPLUS, TOXCENTER, USPATFULL

CM 1

CRN 214764-26-8
CMF C16 H12 F3 N O5 S2

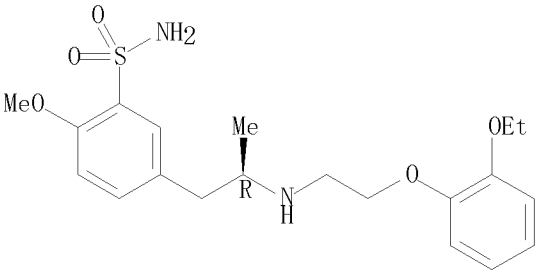
Absolute stereochemistry. Rotation (+).



CM 2

CRN 106463-17-6 (106133-20-4)
CMF C20 H28 N2 O5 S . Cl H

Absolute stereochemistry. Rotation (-).



● HCl

1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

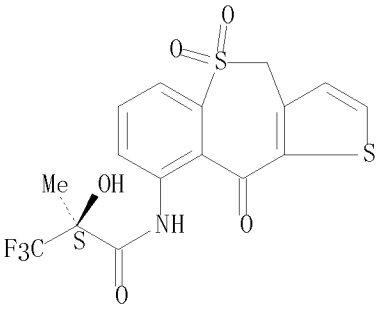
REFERENCE 1: 142:162637

L3 ANSWER 3 OF 16 REGISTRY COPYRIGHT 2009 ACS on STN
RN 827629-17-4 REGISTRY
ED Entered STN: 09 Feb 2005
CN Benzeneacetic acid, α-cyclohexyl-α-hydroxy-,
4-(diethylamino)-2-butynyl ester, hydrochloride, mixt. with
(2S)-N-(4,10-dihydro-5,5-dioxido-10-oxothieno[3,2-c][1]benzothiepin-9-yl)-
3,3,3-trifluoro-2-hydroxy-2-methylpropanamide (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C22 H31 N 03 . C16 H12 F3 N 05 S2 . C1 H
CI MXS
SR CA
LC STN Files: CA, CAPLUS, USPATFULL

CM 1

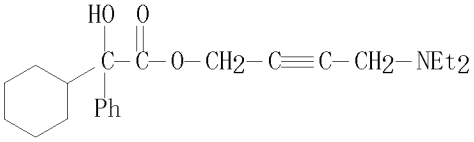
CRN 214764-26-8
CMF C16 H12 F3 N 05 S2

Absolute stereochemistry. Rotation (+).



CM 2

CRN 1508-65-2 (5633-20-5)
CMF C22 H31 N 03 . C1 H



● HCl

1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

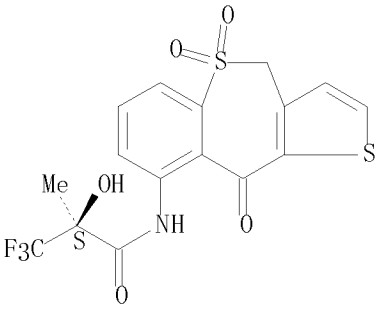
REFERENCE 1: 142:162623

L3 ANSWER 4 OF 16 REGISTRY COPYRIGHT 2009 ACS on STN
RN 827629-16-3 REGISTRY
ED Entered STN: 09 Feb 2005
CN Propanamide, N-(4,10-dihydro-5,5-dioxido-10-oxothieno[3,2-c][1]benzothiepin-9-yl)-3,3,3-trifluoro-2-hydroxy-2-methyl-, (2S)-, mixt. with 2-[(1R)-3-[bis(1-methylethyl)amino]-1-phenylpropyl]-4-methylphenol (2R,3R)-2,3-dihydroxybutanedioate (1:1) (salt) (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C22 H31 N O . C16 H12 F3 N O5 S2 . C4 H6 O6
CI MXS
SR CA
LC STN Files: CA, CAPLUS, USPATFULL

CM 1

CRN 214764-26-8
CMF C16 H12 F3 N O5 S2

Absolute stereochemistry. Rotation (+).



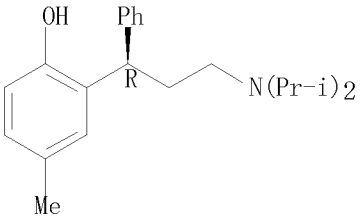
CM 2

CRN 124937-52-6
CMF C22 H31 N O . C4 H6 O6

CM 3

CRN 124937-51-5
CMF C22 H31 N O

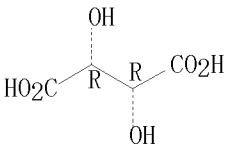
Absolute stereochemistry.



CM 4

CRN 87-69-4
CMF C4 H6 O6

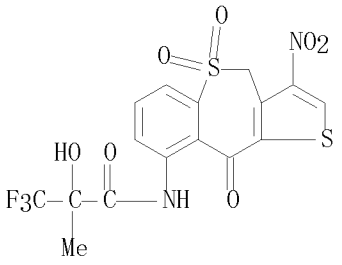
Absolute stereochemistry.



1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 142:162623

L3 ANSWER 5 OF 16 REGISTRY COPYRIGHT 2009 ACS on STN
RN 332029-03-5 REGISTRY
ED Entered STN: 23 Apr 2001
CN Propanamide, N-(4,10-dihydro-3-nitro-5,5-dioxido-10-oxothieno[3,2-c][1]benzothiepin-9-yl)-3,3,3-trifluoro-2-hydroxy-2-methyl- (CA INDEX NAME)
MF C16 H11 F3 N2 O7 S2
SR CA
LC STN Files: CA, CAPLUS, USPATFULL

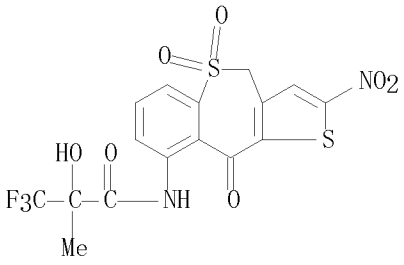


PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 134:261274

L3 ANSWER 6 OF 16 REGISTRY COPYRIGHT 2009 ACS on STN
RN 332029-02-4 REGISTRY
ED Entered STN: 23 Apr 2001
CN Propanamide, N-(4,10-dihydro-2-nitro-5,5-dioxido-10-oxothieno[3,2-c][1]benzothiepin-9-yl)-3,3,3-trifluoro-2-hydroxy-2-methyl- (CA INDEX NAME)
MF C16 H11 F3 N2 O7 S2
SR CA
LC STN Files: CA, CAPLUS, USPATFULL



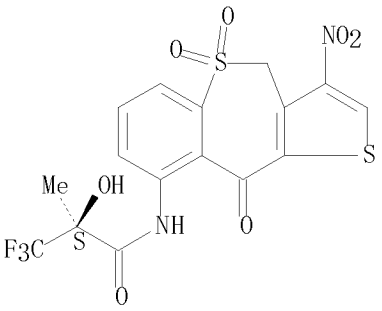
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 134:261274

L3 ANSWER 7 OF 16 REGISTRY COPYRIGHT 2009 ACS on STN
RN 214764-52-0 REGISTRY
ED Entered STN: 25 Nov 1998
CN Propanamide, N-(4,10-dihydro-3-nitro-5,5-dioxido-10-oxothieno[3,2-c][1]benzothiepin-9-yl)-3,3,3-trifluoro-2-hydroxy-2-methyl-, (2S)- (CA INDEX NAME)
FS STEREOSEARCH
MF C16 H11 F3 N2 07 S2
SR CA
LC STN Files: CA, CAPLUS

Absolute stereochemistry.



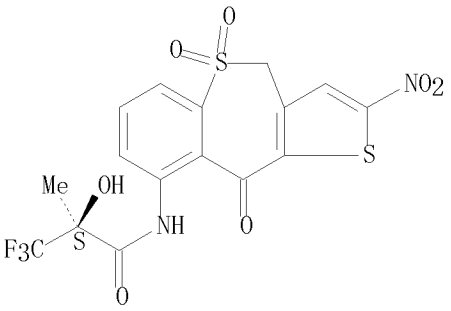
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 129:316211

L3 ANSWER 8 OF 16 REGISTRY COPYRIGHT 2009 ACS on STN
RN 214764-51-9 REGISTRY
ED Entered STN: 25 Nov 1998
CN Propanamide, N-(4,10-dihydro-2-nitro-5,5-dioxido-10-oxothieno[3,2-c][1]benzothiepin-9-yl)-3,3,3-trifluoro-2-hydroxy-2-methyl-, (2S)- (CA INDEX NAME)
FS STEREOSEARCH
MF C16 H11 F3 N2 07 S2
SR CA
LC STN Files: CA, CAPLUS

Absolute stereochemistry.

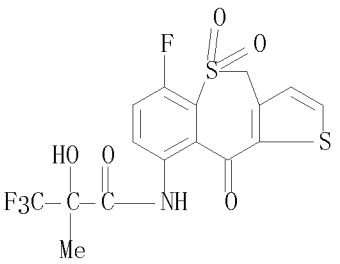


PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 129:316211

L3 ANSWER 9 OF 16 REGISTRY COPYRIGHT 2009 ACS on STN
RN 214764-38-2 REGISTRY
ED Entered STN: 25 Nov 1998
CN Propanamide, 3,3,3-trifluoro-N-(6-fluoro-4,10-dihydro-5,5-dioxido-10-oxothieno[3,2-c][1]benzothiepin-9-yl)-2-hydroxy-2-methyl- (CA INDEX NAME)
MF C16 H11 F4 N 05 S2
SR CA
LC STN Files: CA, CAPLUS, USPATFULL



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

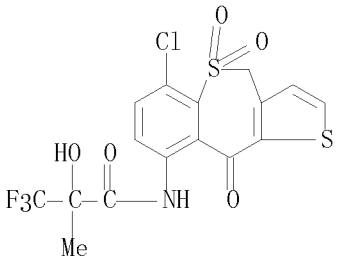
3 REFERENCES IN FILE CA (1907 TO DATE)
3 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 148:509925

REFERENCE 2: 134:261274

REFERENCE 3: 129:316211

L3 ANSWER 10 OF 16 REGISTRY COPYRIGHT 2009 ACS on STN
RN 214764-36-0 REGISTRY
ED Entered STN: 25 Nov 1998
CN Propanamide, N-(6-chloro-4,10-dihydro-5,5-dioxido-10-oxothieno[3,2-c][1]benzothiepin-9-yl)-3,3,3-trifluoro-2-hydroxy-2-methyl- (CA INDEX NAME)
MF C16 H11 Cl F3 N 05 S2
SR CA
LC STN Files: CA, CAPLUS, TOXCENTER, USPAT2, USPATFULL



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

4 REFERENCES IN FILE CA (1907 TO DATE)
4 REFERENCES IN FILE CAPLUS (1907 TO DATE)

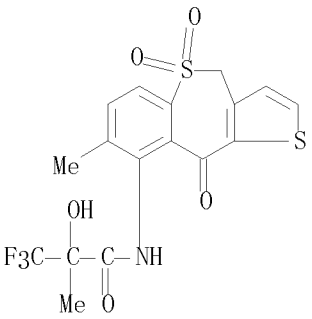
REFERENCE 1: 148:509925

REFERENCE 2: 137:289043

REFERENCE 3: 134:261274

REFERENCE 4: 129:316211

L3 ANSWER 11 OF 16 REGISTRY COPYRIGHT 2009 ACS on STN
RN 214764-34-8 REGISTRY
ED Entered STN: 25 Nov 1998
CN Propanamide, N-(4,10-dihydro-8-methyl-5,5-dioxido-10-oxothieno[3,2-c][1]benzothiepin-9-yl)-3,3,3-trifluoro-2-hydroxy-2-methyl- (CA INDEX NAME)
MF C17 H14 F3 N O5 S2
SR CA
LC STN Files: CA, CAPLUS, USPATFULL



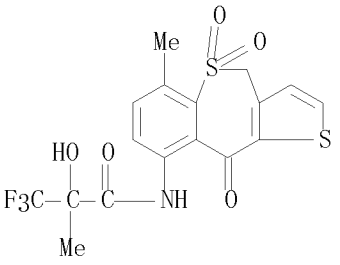
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

2 REFERENCES IN FILE CA (1907 TO DATE)
2 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 134:261274

REFERENCE 2: 129:316211

L3 ANSWER 12 OF 16 REGISTRY COPYRIGHT 2009 ACS on STN
RN 214764-30-4 REGISTRY
ED Entered STN: 25 Nov 1998
CN Propanamide, N-(4,10-dihydro-6-methyl-5,5-dioxido-10-oxothieno[3,2-c][1]benzothiepin-9-yl)-3,3,3-trifluoro-2-hydroxy-2-methyl- (CA INDEX NAME)
MF C17 H14 F3 N O5 S2
SR CA
LC STN Files: CA, CAPLUS, USPATFULL



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

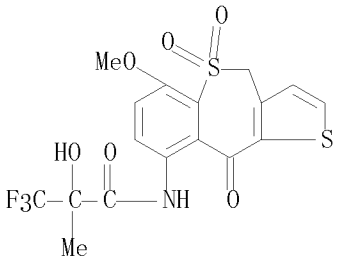
3 REFERENCES IN FILE CA (1907 TO DATE)
3 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 148:509925

REFERENCE 2: 134:261274

REFERENCE 3: 129:316211

L3 ANSWER 13 OF 16 REGISTRY COPYRIGHT 2009 ACS on STN
RN 214764-29-1 REGISTRY
ED Entered STN: 25 Nov 1998
CN Propanamide, N-(4,10-dihydro-6-methoxy-5,5-dioxido-10-oxothieno[3,2-c][1]benzothiepin-9-yl)-3,3,3-trifluoro-2-hydroxy-2-methyl- (CA INDEX NAME)
MF C17 H14 F3 N O6 S2
SR CA
LC STN Files: CA, CAPLUS, USPATFULL



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

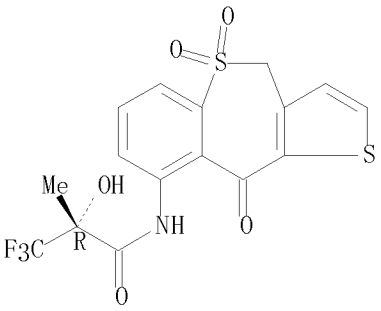
2 REFERENCES IN FILE CA (1907 TO DATE)
2 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 134:261274

REFERENCE 2: 129:316211

L3 ANSWER 14 OF 16 REGISTRY COPYRIGHT 2009 ACS on STN
RN 214764-27-9 REGISTRY
ED Entered STN: 25 Nov 1998
CN Propanamide, N-(4,10-dihydro-5,5-dioxido-10-oxothieno[3,2-c][1]benzothiepin-9-yl)-3,3,3-trifluoro-2-hydroxy-2-methyl-, (2R)- (CA INDEX NAME)
FS STEREOSEARCH
MF C16 H12 F3 N O5 S2
SR CA
LC STN Files: CA, CAPLUS, USPATFULL

Absolute stereochemistry. Rotation (-).



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

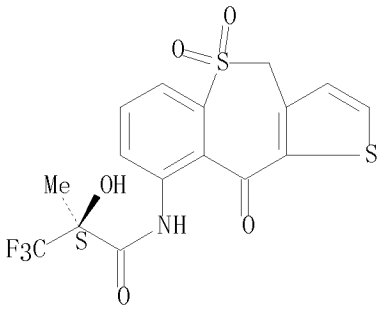
2 REFERENCES IN FILE CA (1907 TO DATE)
2 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 134:261274

REFERENCE 2: 129:316211

L3 ANSWER 15 OF 16 REGISTRY COPYRIGHT 2009 ACS on STN
RN 214764-26-8 REGISTRY
ED Entered STN: 25 Nov 1998
CN Propanamide, N-(4,10-dihydro-5,5-dioxido-10-oxothieno[3,2-c][1]benzothiepin-9-yl)-3,3,3-trifluoro-2-hydroxy-2-methyl-, (2S)- (CA INDEX NAME)
OTHER NAMES:
CN (S)-5,5-Dioxo-9-[(3,3,3-trifluoro-2-hydroxy-2-methylpropanoyl)amino]-4,10-dihydrothieno[3,2-c][1]benzothiepin-10-one
CN KW 7158
FS STEREOSEARCH
MF C16 H12 F3 N O5 S2
CI COM
SR CA
LC STN Files: CA, CAPLUS, CASREACT, IMSDRUGNEWS, IMSRESEARCH, PROUSDDR, TOXCENTER, USPAT2, USPATFULL

Absolute stereochemistry. Rotation (+).



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

20 REFERENCES IN FILE CA (1907 TO DATE)
20 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 148:509925

REFERENCE 2: 144:212756

REFERENCE 3: 143:83428

REFERENCE 4: 142:212362

REFERENCE 5: 142:170135

REFERENCE 6: 142:168588

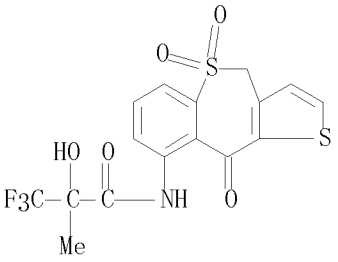
REFERENCE 7: 142:162637

REFERENCE 8: 142:162623

REFERENCE 9: 142:120499

REFERENCE 10: 141:325736

L3 ANSWER 16 OF 16 REGISTRY COPYRIGHT 2009 ACS on STN
RN 214763-95-8 REGISTRY
ED Entered STN: 25 Nov 1998
CN Propanamide, N-(4,10-dihydro-5,5-dioxido-10-oxothieno[3,2-c][1]benzothiepin-9-yl)-3,3,3-trifluoro-2-hydroxy-2-methyl- (CA INDEX NAME)
MF C16 H12 F3 N O5 S2
SR CA
LC STN Files: CA, CAPLUS, CHEMCATS, PROUSDDR, SYNTHLINE, TOXCENTER, USPATFULL



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

6 REFERENCES IN FILE CA (1907 TO DATE)
6 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 148:509925

REFERENCE 2: 144:212756

REFERENCE 3: 142:162637

REFERENCE 4: 142:162623

REFERENCE 5: 134:261274

REFERENCE 6: 129:316211

```
=> fil capl
FILE 'CAPLUS' ENTERED AT 19:54:04 ON 21 MAR 2009
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
COPYRIGHT (C) 2009 AMERICAN CHEMICAL SOCIETY (ACS)


Copyright of the articles to which records in this database refer is
held by the publishers listed in the PUBLISHER (PB) field (available
for records published or updated in Chemical Abstracts after December
26, 1996), unless otherwise indicated in the original publications.
The CA Lexicon is the copyrighted intellectual property of the
American Chemical Society and is provided to assist you in searching
databases on STN.  Any dissemination, distribution, copying, or storing
of this information, without the prior written consent of CAS, is
strictly prohibited.

FILE COVERS 1907 - 21 Mar 2009  VOL 150 ISS 13
FILE LAST UPDATED: 20 Mar 2009  (20090320/ED)

Caplus now includes complete International Patent Classification (IPC)
reclassification data for the third quarter of 2008.

CAS Information Use Policies apply and are available at:

http://www.cas.org/legal/infopolicy.html

This file contains CAS Registry Numbers for easy and accurate
substance identification.
'.FIONA' IS DEFAULT FORMAT FOR 'CAPLUS' FILE

=> s l3
L4          20 L3

=> d 1-20 bib abs hitstr
```

L4 ANSWER 1 OF 20 CAPLUS COPYRIGHT 2009 ACS on STN
AN 2008:529662 CAPLUS
DN 148:509925
TI Therapeutic agents for irritable bowel syndrome
IN Yamagata, Tsuyoshi; Shibata, Kenji; Nishiya, Yoichi; Seishi, Takashi; Sakuma, Takashi
PA Kyowa Hakko Kogyo Co., Ltd., Japan
SO PCT Int. Appl., 68pp.
CODEN: PIXXD2
DT Patent
LA Japanese
FAN.CNT 1

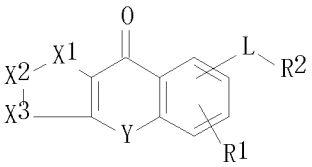
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2008050853	A1	20080502	WO 2007-JP70881	20071026

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW

RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

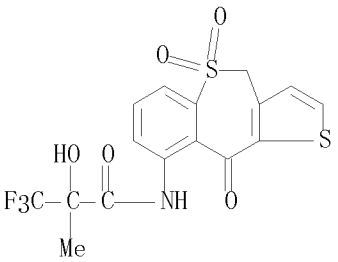
PRAI JP 2006-291374	A	20061026	
JP 2006-329436	A	20061206	

OS MARPAT 148:509925
GI



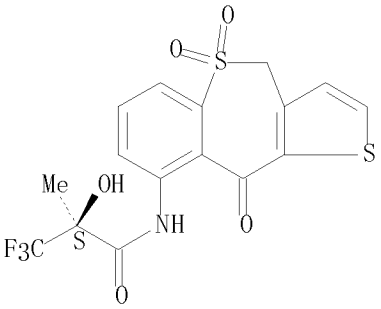
I

AB A therapeutic agent for irritable bowel syndrome which contains as an active ingredient a compound having adenosine uptake inhibitory activity; and a therapeutic agent for irritable bowel syndrome which contains as an active ingredient either a tricyclic compound represented by the formula (I) [wherein L represents -NHC(=O)-, etc.; R1 represents hydrogen, halogeno, etc.; X1-X2-X3 represents S-CR7=CR8 (wherein R7 and R8 are the same or different and each represents hydrogen, halogeno, (un)substituted lower alkyl, etc.), etc.; Y represents -CH2SO2-, -SO2CH2-, etc.; and R2 represents (un)substituted lower alkyl, (un)substituted lower alkoxy, (un)substituted aryl, etc.] or a pharmacol. acceptable salt of the compound
IT 214763-95-8P 214764-26-8P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(tricylic compds. as therapeutic agents for irritable bowel syndrome)
RN 214763-95-8 CAPLUS
CN Propanamide, N-(4,10-dihydro-5,5-dioxido-10-oxothieno[3,2-c][1]benzothiepin-9-yl)-3,3,3-trifluoro-2-hydroxy-2-methyl- (CA INDEX NAME)

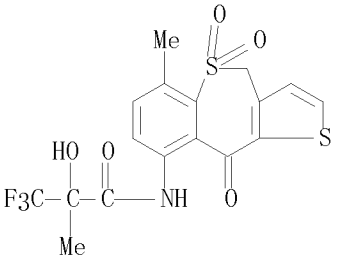


RN 214764-26-8 CAPLUS
CN Propanamide, N-(4,10-dihydro-5,5-dioxido-10-oxothieno[3,2-c][1]benzothiepin-9-yl)-3,3,3-trifluoro-2-hydroxy-2-methyl-, (2S)- (CA INDEX NAME)

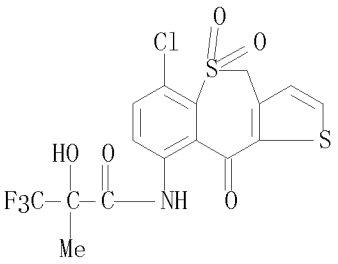
Absolute stereochemistry. Rotation (+).



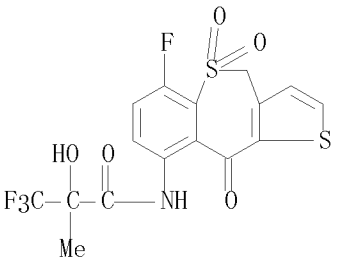
IT 214764-30-4 214764-36-0 214764-38-2
1022178-87-5
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(tricylic compds. as therapeutic agents for irritable bowel syndrome)
RN 214764-30-4 CAPLUS
CN Propanamide, N-(4,10-dihydro-6-methyl-5,5-dioxido-10-oxothieno[3,2-c][1]benzothiepin-9-yl)-3,3,3-trifluoro-2-hydroxy-2-methyl- (CA INDEX NAME)



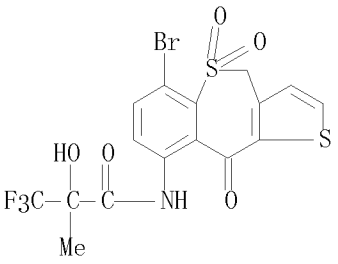
RN 214764-36-0 CAPLUS
CN Propanamide, N-(6-chloro-4,10-dihydro-5,5-dioxido-10-oxothieno[3,2-c][1]benzothiepin-9-yl)-3,3,3-trifluoro-2-hydroxy-2-methyl- (CA INDEX NAME)



RN 214764-38-2 CAPLUS
CN Propanamide, 3,3,3-trifluoro-N-(6-fluoro-4,10-dihydro-5,5-dioxido-10-oxothieno[3,2-c][1]benzothiepin-9-yl)-2-hydroxy-2-methyl- (CA INDEX NAME)



RN 1022178-87-5 CAPLUS
CN Propanamide, N-(6-bromo-4,10-dihydro-5,5-dioxido-10-oxothieno[3,2-c][1]benzothiepin-9-yl)-3,3,3-trifluoro-2-hydroxy-2-methyl- (CA INDEX NAME)



RE.CNT 37 THERE ARE 37 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

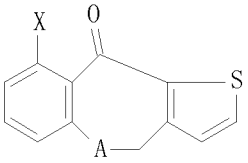
L4 ANSWER 2 OF 20 CAPLUS COPYRIGHT 2009 ACS on STN
AN 2006:116598 CAPLUS
DN 144:212756
TI Process for preparing tricyclic sulfone
IN Imai, Eiichiro; Mimura, Yukiteru; Koizumi, Noriko; Kato, Sachiko; Kinugawa, Masahiko; Sugaya, Toru
PA Kyowa Hakko Kogyo Co., Ltd., Japan
SO PCT Int. Appl., 15 pp.
CODEN: PIXXD2
DT Patent
LA Japanese
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2006013965	A1	20060209	WO 2005-JP14409	20050805

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW

RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

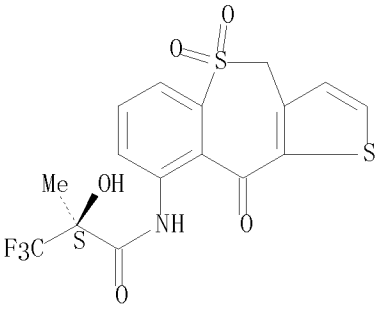
PRAI JP 2004-231392 A 20040806
OS MARPAT 144:212756
GI



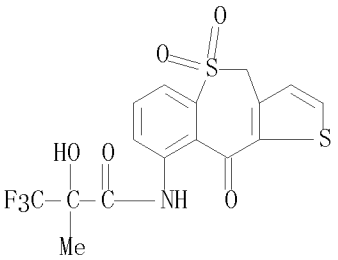
I

AB The title process for producing a tricyclic sulfone represented by the formula I [wherein X represents hydrogen, halogeno, (un)substituted alkyl, mono((un)substituted alkyl)aminocarbonyl, di((un)substituted alkyl)aminocarbonyl, or (un)substituted alkanoylamino; A = SO2], comprises oxidizing a tricyclic sulfide represented by the formula I (X = as defined above; A = S) with potassium hydrogen monopersulfate composite salt (2KHSO5·KHSO4·K2SO4). Tricyclic sulfones are pharmaceuticals or intermediates thereof. Thus, oxidation of (S)-(+)-9-(3,3,3-trifluoro-2-hydroxy-2-methylpropanoylamino)-4,10-dihydrothieno[3,2-c][1]benzothiepin-10-one in DMF and water containing Oxone at 35° Cfor 5 h gave (S)-(+)-5,5-dioxo-9-(3,3,3-trifluoro-2-hydroxy-2-methylpropanoylamino)-4,10-dihydrothieno[3,2-c][1]benzothiepin-10-one in 95% yield, vs. 65% yield in the prior art.
IT 214764-26-8P
RL: PUR (Purification or recovery); SPN (Synthetic preparation); PREP (Preparation)
(process for preparing tricyclic sulfone by oxidizing tricyclic sulfide with Oxone)
RN 214764-26-8 CAPLUS
CN Propanamide, N-(4,10-dihydro-5,5-dioxido-10-oxothieno[3,2-c][1]benzothiepin-9-yl)-3,3,3-trifluoro-2-hydroxy-2-methyl-, (2S)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



IT 214763-95-8P
RL: SPN (Synthetic preparation); PREP (Preparation)
(process for preparing tricyclic sulfone by oxidizing tricyclic sulfide with Oxone)
RN 214763-95-8 CAPLUS
CN Propanamide, N-(4,10-dihydro-5,5-dioxido-10-oxothieno[3,2-c][1]benzothiepin-9-yl)-3,3,3-trifluoro-2-hydroxy-2-methyl- (CA INDEX NAME)



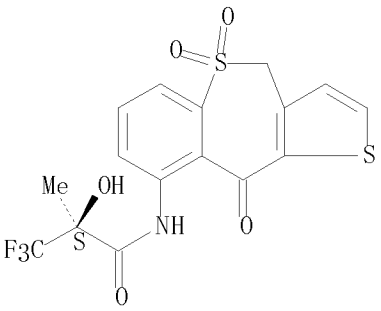
RE.CNT 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 3 OF 20 CAPLUS COPYRIGHT 2009 ACS on STN
AN 2005:540584 CAPLUS
DN 143:83428
TI Preparation of microcrystals of dihydrothienobenzothiepinylpropanamide derivative
IN Izawa, Naoto; Satoh, Norie; Yagi, Nobuhiro; Ohuchi, Kazue; Narita, Shoichi; Aoki, Noboru
PA Kyowa Hakko Kogyo Co., Ltd., Japan
SO PCT Int. Appl., 20 pp.
CODEN: PIXXD2
DT Patent
LA Japanese
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2005056561	A1	20050623	WO 2004-JP18773	20041209
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	AU 2004297132	A1	20050623	AU 2004-297132	20041209
	CA 2550136	A1	20050623	CA 2004-2550136	20041209
	EP 1693374	A1	20060823	EP 2004-807132	20041209
	EP 1693374	B1	20081015		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK, IS				
	CN 1845927	A	20061011	CN 2004-80025657	20041209
	AT 411320	T	20081015	AT 2004-807132	20041209
	ES 2314484	T3	20090316	ES 2004-807132	20041209
	KR 2006121163	A	20061128	KR 2006-711372	20060609
	US 20070049634	A1	20070301	US 2006-582328	20060609
	NO 2006003134	A	20060908	NO 2006-3134	20060706
PRAI	JP 2003-413725	A	20031211		
	WO 2004-JP18773	W	20041209		

AB Claimed are microcrystals of (S)-(+)-3,3,3-trifluoro-2-hydroxy-2-methyl-N-(5,5,10-trioxo-4,10-dihydrothieno[3,2-c][1]benzothiepin-9-yl)propanamide (I) with average particle diameter of ≤ 80 μm. I is a known therapeutic agent for urinary incontinence. Crystals of I were pulverized by a jet mill at 0.4 MPa to give microcrystals of I with average particle diameter of 5 μm. Microcrystals of I showed high oral bioavailability and high stability. Capsules containing microcrystals of I were prepared
IT 214764-26-8
RL: PEP (Physical, engineering or chemical process); PRP (Properties); PYP (Physical process); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses)
(preparation of microcrystals of dihydrothienobenzothiepinylpropanamide derivative with high bioavailability and high stability)
RN 214764-26-8 CAPLUS
CN Propanamide, N-(4,10-dihydro-5,5-dioxido-10-oxothieno[3,2-c][1]benzothiepin-9-yl)-3,3,3-trifluoro-2-hydroxy-2-methyl-, (2S)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



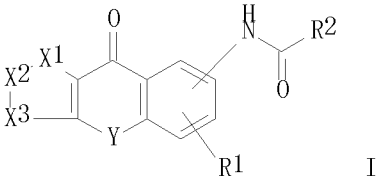
RE.CNT 27 THERE ARE 27 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 4 OF 20 CAPLUS COPYRIGHT 2009 ACS on STN
AN 2005:120727 CAPLUS
DN 142:212362
TI Thienobenzothiepine derivatives as preventive and/or therapeutic agents for bronchial asthma
IN Ikemura, Toshihide; Karasawa, Akira; Ohmori, Kenji
PA Kyowa Hakko Kogyo Co., Ltd., Japan
SO PCT Int. Appl., 34 pp.
CODEN: PIXXD2
DT Patent
LA Japanese
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2005011674	A1	20050210	WO 2004-JP11571	20040805

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

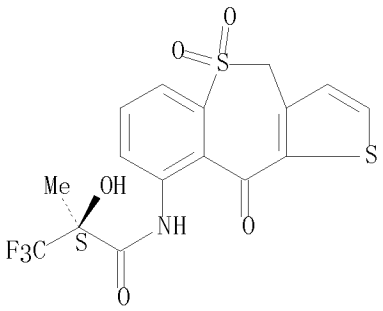
PRAI JP 2003-205957 A 20030805
OS MARPAT 142:212362
GI



I

AB Preventive and/or therapeutic agents (for bronchial asthma) and tachykinin inhibitors contain I [R1 is hydrogen, halogeno, substituted or unsubstituted lower alkyl, or substituted or unsubstituted lower alkoxy; X1-X2-X3 is CR5=CR6-CR7=CR8 (wherein R5, R6, R7 and R8 are each independently hydrogen, substituted or unsubstituted lower alkyl, or the like) or the like; Y is CH2S, CH2SO, CH2SO2, CH2O, etc.; and R2 is hydrogen, substituted or unsubstituted lower alkyl, or the like] or pharmacol. acceptable salts thereof as active ingredients. The bioactivity of (S)-(+)-3,3,3-trifluoro-2-hydroxy-2-methyl-N-(5,5,10-trioxo-4,10-dihydrothieno[3,2-c][1]benzothiepin-9-yl)propanamide (II) was demonstrated. An injectable composition contains II 2 mg, D-mannitol 10 mg, HCl (appropriate amount), aqueous NaOH solution (appropriate amount), distilled water (appropriate amount).
IT 214764-26-8
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(thienobenzothiepine derivs. as tachykinin inhibitors or preventive and/or therapeutic agents for bronchial asthma)
RN 214764-26-8 CAPLUS
CN Propanamide, N-(4,10-dihydro-5,5-dioxido-10-oxothieno[3,2-c][1]benzothiepin-9-yl)-3,3,3-trifluoro-2-hydroxy-2-methyl-, (2S)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



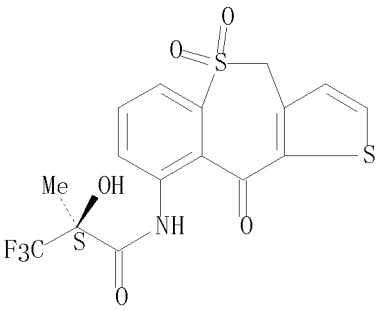
RE.CNT 29 THERE ARE 29 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 5 OF 20 CAPLUS COPYRIGHT 2009 ACS on STN
AN 2005:76260 CAPLUS
DN 142:162637
TI Medicinal compositions containing 3,3,3-trifluoro-2-hydroxy-2-methyl-N-(5,5,10-trioxo-4,10-dihydrothieno[3,2-c][1]benzothiepin-9-yl)propanamide and α1-adrenoceptor blocker
IN Yamagata, Tsuyoshi; Shirakura, Shiro
PA Kyowa Hakko Kogyo Co., Ltd., Japan
SO PCT Int. Appl., 36 pp.
CODEN: PIXXD2
DT Patent
LA Japanese
FAN.CNT 1

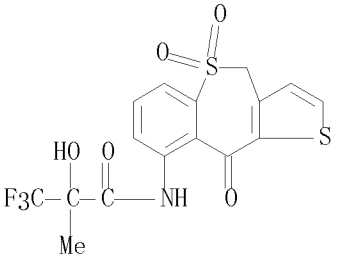
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2005007155	A1	20050127	WO 2004-JP10533	20040716
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	CA 2532806	A1	20050127	CA 2004-2532806	20040716
	EP 1649855	A1	20060426	EP 2004-747897	20040716
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK				
	US 20060148885	A1	20060706	US 2005-562634	20051229
PRAI	JP 2003-197661	A	20030716		
	WO 2004-JP10533	W	20040716		

AB It is intended to provide a medicinal composition useful in treating, for example, bladder irritant symptoms accompanying prostate-gland enlargement which comprises 3,3,3-trifluoro-2-hydroxy-2-methyl-N-(5,5,10-trioxo-4,10-dihydrothieno[3,2-c][1]benzothiepin-9-yl)propanamide or a pharmacol. acceptable salt thereof and an α1 adrenaline receptor blocker. The effect of combination of (S)-(+)-3,3,3-trifluoro-2-hydroxy-2-methyl-N-(5,5,10-trioxo-4,10-dihydrothieno[3,2-c][1]benzothiepin-9-yl)propanamide and tamsulosin on bladder contraction in bladder hypertrophy rats was examined
IT 214764-26-8
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(medicinal compns. containing 3,3,3-trifluoro-2-hydroxy-2-methyl-N-(5,5,10-trioxo-4,10-dihydrothieno[3,2-c][1]benzothiepin-9-yl)propanamide and α1-adrenoceptor blocker)
RN 214764-26-8 CAPLUS
CN Propanamide, N-(4,10-dihydro-5,5-dioxido-10-oxothieno[3,2-c][1]benzothiepin-9-yl)-3,3,3-trifluoro-2-hydroxy-2-methyl-, (2S)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



IT 214763-95-8 827629-18-5
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(medicinal compns. containing 3,3,3-trifluoro-2-hydroxy-2-methyl-N-(5,5,10-trioxo-4,10-dihydrothieno[3,2-c][1]benzothiepin-9-yl)propanamide and α1-adrenoceptor blocker)
RN 214763-95-8 CAPLUS
CN Propanamide, N-(4,10-dihydro-5,5-dioxido-10-oxothieno[3,2-c][1]benzothiepin-9-yl)-3,3,3-trifluoro-2-hydroxy-2-methyl- (CA INDEX NAME)

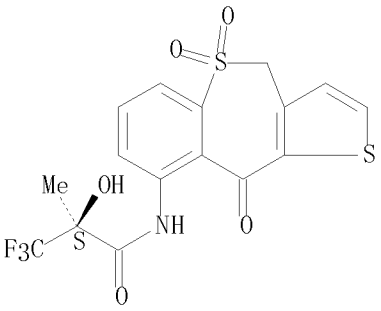


RN 827629-18-5 CAPLUS
CN Propanamide, N-(4,10-dihydro-5,5-dioxido-10-oxothieno[3,2-c][1]benzothiepin-9-yl)-3,3,3-trifluoro-2-hydroxy-2-methyl-, (2S)-, mixt. with 5-[(2R)-2-[[2-(2-ethoxyphenoxy)ethyl]amino]propyl]-2-methoxybenzenesulfonamide monohydrochloride (9CI) (CA INDEX NAME)

CM 1

CRN 214764-26-8
CMF C16 H12 F3 N 05 S2

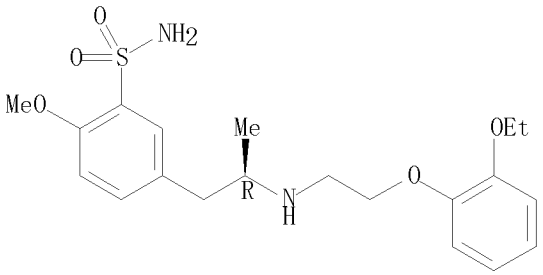
Absolute stereochemistry. Rotation (+).



CM 2

CRN 106463-17-6
CMF C20 H28 N2 05 S . Cl H

Absolute stereochemistry. Rotation (-).



● HCl

RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

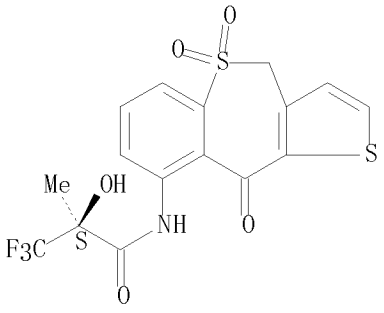
L4 ANSWER 6 OF 20 CAPLUS COPYRIGHT 2009 ACS on STN
AN 2005:76259 CAPLUS
DN 142:170135
TI Dihydrothieno[3,2-c][1]benzothiepin-9-ylpropanamide or derivative thereof as preventive and/or therapeutic agent for pain
IN Shirai, Tomomi; Ichikawa, Shunji; Shirakura, Shiro
PA Kyowa Hakko Kogyo Co., Ltd., Japan
SO PCT Int. Appl., 35 pp.
CODEN: PIXXD2
DT Patent
LA Japanese
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2005007154	A1	20050127	WO 2004-JP10523	20040716

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRAI JP 2003-197694 A 20030716
OS MARPAT 142:170135
AB Claimed is a preventive and/or therapeutic agent for pain containing dihydrothieno[3,2-c][1]benzothiepin-9-ylpropanamide or derivative thereof (Markush structure given) as an active ingredient. (S)-(+)-3,3,3-Trifluoro-2-hydroxy-2-methyl-N-(5,5,10-trioxo-4,10-dihydrothieno[3,2-c][1]benzothiepin-9-yl)propanamide (I) showed oral analgesic ED50 of 33.1 mg/kg in a mouse test. A formulation for injection contained I 2 mg, D-mannitol 10 mg, HCl (appropriate amount), aqueous NaOH solution (appropriate amount), and distilled water to 2 mL.
IT 214764-26-8
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(dihydrothieno[3,2-c][1]benzothiepin-9-ylpropanamide or derivative thereof as preventive and/or therapeutic agent for pain)
RN 214764-26-8 CAPLUS
CN Propanamide, N-(4,10-dihydro-5,5-dioxido-10-oxothieno[3,2-c][1]benzothiepin-9-yl)-3,3,3-trifluoro-2-hydroxy-2-methyl-, (2S)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



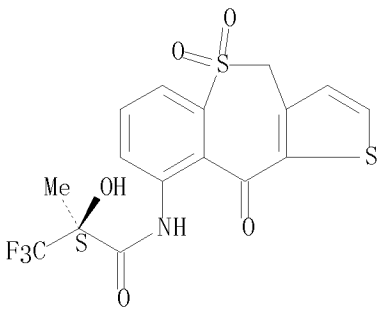
RE.CNT 18 THERE ARE 18 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 7 OF 20 CAPLUS COPYRIGHT 2009 ACS on STN
AN 2005:71091 CAPLUS
DN 142:162623
TI Medicinal compositions containing tricyclic heterocyclic compound and anticholinergic agent
IN Yamagata, Tsuyoshi; Shirakura, Shiro
PA Kyowa Hakko Kogyo Co., Ltd., Japan
SO PCT Int. Appl., 31 pp.
CODEN: PIXXD2
DT Patent
LA Japanese
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2005007191	A1	20050127	WO 2004-JP10521	20040716
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	CA 2532805	A1	20050127	CA 2004-2532805	20040716
	EP 1652532	A1	20060503	EP 2004-747885	20040716
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK				
	US 20060160887	A1	20060720	US 2005-562635	20051229
PRAI	JP 2003-197662	A	20030716		
	WO 2004-JP10521	W	20040716		

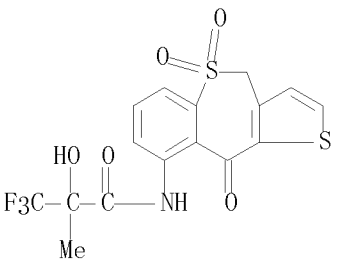
AB It is intended to provide a medicinal composition useful in treating, for example, hyperactive bladder which comprises 3,3,3-trifluoro-2-hydroxy-2-methyl-N-(5,5,10-trioxo-4,10-dihydrothieno[3,2-c][1]benzothiepin-9-yl)propanamide or a pharmacol. acceptable salt thereof and an anticholine drug. The effect of combination of (S)-(+)-3,3,3-trifluoro-2-hydroxy-2-methyl-N-(5,5,10-trioxo-4,10-dihydrothieno[3,2-c][1]benzothiepin-9-yl)propanamide 0.01 and tolterodine 3 mg/kg on bladder contraction in spinal cord injury rats was examined
IT 214764-26-8
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(medicinal compns. containing tricyclic heterocyclic compound and anticholinergic agent)
RN 214764-26-8 CAPLUS
CN Propanamide, N-(4,10-dihydro-5,5-dioxido-10-oxothieno[3,2-c][1]benzothiepin-9-yl)-3,3,3-trifluoro-2-hydroxy-2-methyl-, (2S)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



IT 214763-95-8 827629-16-3 827629-17-4
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(medicinal compns. containing tricyclic heterocyclic compound and anticholinergic agent)

RN 214763-95-8 CAPLUS
CN Propanamide, N-(4,10-dihydro-5,5-dioxido-10-oxothieno[3,2-c][1]benzothiepin-9-yl)-3,3,3-trifluoro-2-hydroxy-2-methyl- (CA INDEX NAME)

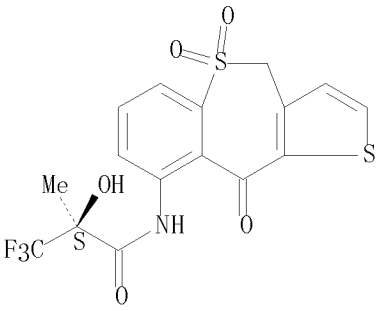


RN 827629-16-3 CAPLUS
CN Propanamide, N-(4,10-dihydro-5,5-dioxido-10-oxothieno[3,2-c][1]benzothiepin-9-yl)-3,3,3-trifluoro-2-hydroxy-2-methyl-, (2S)-, mixt. with 2-[(1R)-3-[bis(1-methylethyl)amino]-1-phenylpropyl]-4-methylphenol (2R,3R)-2,3-dihydroxybutanedioate (1:1) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 214764-26-8
CMF C16 H12 F3 N 05 S2

Absolute stereochemistry. Rotation (+).



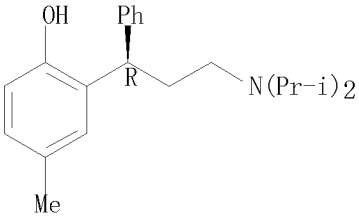
CM 2

CRN 124937-52-6
CMF C22 H31 N 0 . C4 H6 06

CM 3

CRN 124937-51-5
CMF C22 H31 N 0

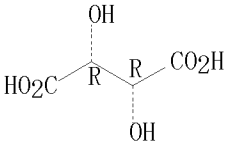
Absolute stereochemistry.



CM 4

CRN 87-69-4
CMF C4 H6 O6

Absolute stereochemistry.

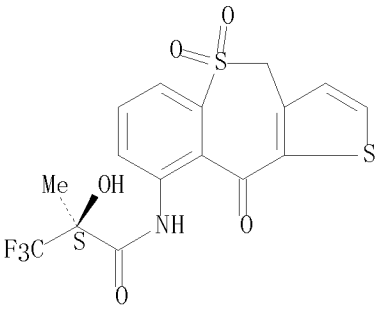


RN 827629-17-4 CAPLUS
CN Benzeneacetic acid, α-cyclohexyl-α-hydroxy-, 4-(diethylamino)-2-butynyl ester, hydrochloride, mixt. with (2S)-N-(4,10-dihydro-5,5-dioxido-10-oxothieno[3,2-c][1]benzothiepin-9-yl)-3,3,3-trifluoro-2-hydroxy-2-methylpropanamide (9CI) (CA INDEX NAME)

CM 1

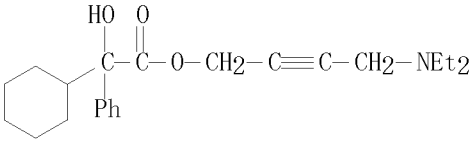
CRN 214764-26-8
CMF C16 H12 F3 N O5 S2

Absolute stereochemistry. Rotation (+).



CM 2

CRN 1508-65-2
CMF C22 H31 N O3 . Cl H



● HCl

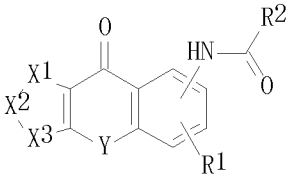
RE.CNT 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 8 OF 20 CAPLUS COPYRIGHT 2009 ACS on STN
AN 2005:14208 CAPLUS
DN 142:120499
TI Therapeutic agents for overactive bladder accompanying cerebrovascular disorder
IN Atsuki, Kaoru; Shirakura, Shiro
PA Kyowa Hakko Kogyo Co., Ltd., Japan
SO PCT Int. Appl., 29 pp.
CODEN: PIXXD2
DT Patent
LA Japanese
FAN.CNT 1

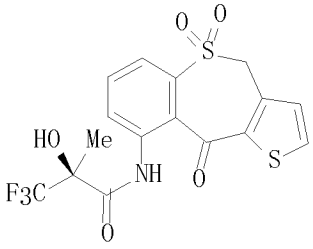
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2005000293	A1	20050106	WO 2004-JP9363	20040625

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRAI JP 2003-185476 A 20030627
OS MARPAT 142:120499
GI



I



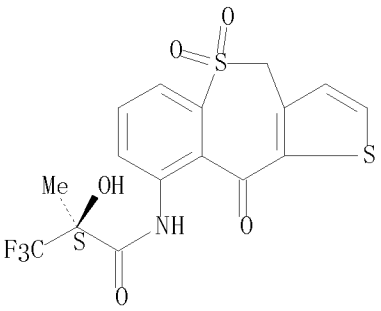
II

AB This invention pertains to a therapeutic agent for overactive bladder accompanying cerebrovascular disorders which contains I [wherein R1 = H, halo, (un)substituted alkyl, or alkoxy; R2 = H, NH2, (un)substituted alkyl, etc.; X1-X2-X3 = (un)substituted CH=CH-CH=CH, N(O)m=CH-CH=CH, CH=CH-O, etc.; m = 0 or 1; Y = CH2S, CH2O, CH=CH, etc.] or pharmaceutically acceptable salts thereof as an active ingredient. For example, compound II was used for the test, and showed pos. results.

IT 214764-26-8P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; therapeutic agents for overactive bladder accompanying cerebrovascular disorder)

RN 214764-26-8 CAPLUS
CN Propanamide, N-(4,10-dihydro-5,5-dioxido-10-oxothieno[3,2-c][1]benzothiepin-9-yl)-3,3,3-trifluoro-2-hydroxy-2-methyl-, (2S)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



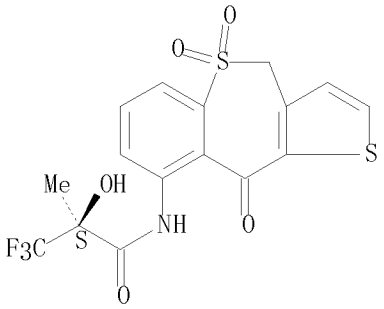
RE.CNT 18 THERE ARE 18 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 9 OF 20 CAPLUS COPYRIGHT 2009 ACS on STN
AN 2004:857378 CAPLUS
DN 141:325736
TI Antitussives
IN Miki, Ichiro; Ishii, Hidee
PA Kyowa Hakko Kogyo Co., Ltd., Japan
SO PCT Int. Appl., 30 pp.
CODEN: PIXXD2
DT Patent
LA Japanese
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2004087131	A1	20041014	WO 2004-JP4578	20040331
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	CA 2520680	A1	20041014	CA 2004-2520680	20040331
	EP 1611888	A1	20060104	EP 2004-724713	20040331
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK				
	US 20060205756	A1	20060914	US 2005-549932	20050920
PRAI	JP 2003-94506	A	20030331		
	WO 2004-JP4578	W	20040331		

OS MARPAT 141:325736
AB Claimed are antitussives containing thienobenzothiepine derivs. and analogs (Markush structure given) as active ingredients. Thus, the antitussive activity of 2-hydroxy-2-methyl-N-(5,5,10-trioxo-4,10-dihydrothieno[3,2-c][1]benzothiepin-9-yl)propanamide was demonstrated. Formulations are given.
IT 214764-26-8
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(antitussive activity of thienobenzothiepine derivs.)
RN 214764-26-8 CAPLUS
CN Propanamide, N-(4,10-dihydro-5,5-dioxido-10-oxothieno[3,2-c][1]benzothiepin-9-yl)-3,3,3-trifluoro-2-hydroxy-2-methyl-, (2S)- (CA INDEX NAME)

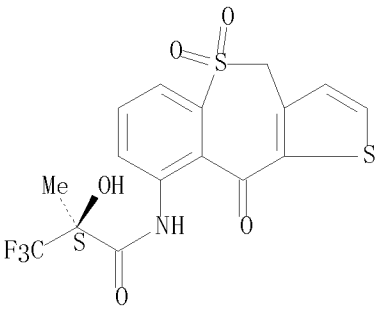
Absolute stereochemistry. Rotation (+).



RE.CNT 13 THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 10 OF 20 CAPLUS COPYRIGHT 2009 ACS on STN
AN 2004:816279 CAPLUS
DN 142:168588
TI Potassium channel subtypes as molecular targets for overactive bladder and other urological disorders
AU Gopalakrishnan, Murali; Shieh, Char-Chang
CS Neuroscience Research, Global Pharmaceutical Research and Development, Abbott Laboratories, Abbott Park, IL, 60064, USA
SO Expert Opinion on Therapeutic Targets (2004), 8(5), 437-458
CODEN: EOTTA0; ISSN: 1472-8222
PB Ashley Publications Ltd.
DT Journal; General Review
LA English
AB A review. Potassium channels have re-emerged as attractive targets for overactive bladder and other urol. diseases in recent years, in part due to an enhanced understanding of their mol. heterogeneity, tissue distribution, functional roles and regulation in physiol. and pathol. states. Cloning and heterologous expression anal., coupled with the advancement of improved high-throughput screening techniques, have enabled expeditious identification of selective small-mol. openers and blockers for ATP-sensitive K+ channels, Ca2+-activated K+ channels and voltage-dependent K+ channel-KQT-like subfamily (KCNQ) members, and has paved the way in the assessment of efficacy and adverse effects in preclin. models. This review focuses on the rationale for mol. targeting of K+ channels, the current status of target validation, including preclin. proof-of-concept studies, and provides perspectives on the limitations and hurdles to be overcome in realizing the potential of these targets for diverse urol. indications such as overactive bladder, erectile dysfunction and prostate diseases.
IT 214764-26-8, KW-7158
RL: DMA (Drug mechanism of action); PAC (Pharmacological activity); PKT (Pharmacokinetics); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(KW-7158 is efficacious in suppressing spontaneous bladder activity by inhibiting afferent pathways and possibly opening A-type K+ channel and remains promising approach for further evaluation)
RN 214764-26-8 CAPLUS
CN Propanamide, N-(4,10-dihydro-5,5-dioxido-10-oxothieno[3,2-c][1]benzothiepin-9-yl)-3,3,3-trifluoro-2-hydroxy-2-methyl-, (2S)- (CA INDEX NAME)

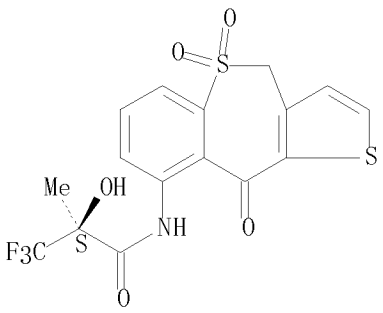
Absolute stereochemistry. Rotation (+).



RE.CNT 216 THERE ARE 216 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 11 OF 20 CAPLUS COPYRIGHT 2009 ACS on STN
AN 2004:547018 CAPLUS
DN 141:64955
TI KW-7158 [(2S)-(+)-3,3,3-trifluoro-2-hydroxy-2-methyl-N-(5,5,10-trioxo-4,10-dihydrothieno[3,2-c][1]benzothiepin-9-yl)propanamide] enhances A-type K+ currents in neurons of the dorsal root ganglion of the adult rat
AU Sculptoreanu, Adrian; Yoshimura, Naoki; De Groat, William C.
CS Department of Pharmacology, School of Medicine, University of Pittsburgh, Pittsburgh, PA, USA
SO Journal of Pharmacology and Experimental Therapeutics (2004), 310(1), 159-168
CODEN: JPETAB; ISSN: 0022-3565
PB American Society for Pharmacology and Experimental Therapeutics
DT Journal
LA English
AB Recent studies revealed that a new compound, KW-7158 [(2S)-(+)-3,3,3-trifluoro-2-hydroxy-2-methyl-N-(5,5,10-trioxo-4,10-dihydrothieno[3,2-c][1]benzothiepin-9-yl)propanamide], can depress the excitability of afferent pathways from the urinary bladder and reduce bladder overactivity induced by chemical irritation of the urinary tract with xylene, an agent that sensitizes capsaicin-sensitive, C-fiber afferent nerves. In the present expts., we examined the mechanisms that might underlie the depressant effect of KW-7158 on primary afferent neurons by studying the actions of the compound on ion channels and firing in dissociated dorsal root ganglion (DRG) cells from adult rats using whole cell patch-clamp techniques. KW-7158 increased transient, A-type K+ currents at concns. ranging from 50 nM to 1 μM (20-50% increases). Similar effects were seen in fast blue identified bladder afferent neurons. Low concns. of KW-7158 shortened the action potential duration, produced a 5- to 10-mV hyperpolarization, and inhibited repetitive firing induced by either 4-AP (50 μM) or substance P (0.5 μM) in phasic firing DRG neurons. Above 1 μM, KW-7158 elicited a smaller enhancement of A-type K+ currents and in high concns. inhibited the currents. Tetraethylammonium (5-60 mM) and verapamil (50 μM), which block noninactivating K+ currents, did not prevent the facilitatory effects of KW-7158. High concns. of 4-AP (5 mM) inhibited A-type K+ currents and prevented the facilitatory effect of KW-7158 on the remaining currents. These data suggest that KW-7158 enhances A-type K+ currents in DRG neurons. Because A-type K+ channels regulate afferent neuron excitability and firing properties, KW-7158 is a promising new compound for treatment of hyper-reflexic bladder conditions.
IT 214764-26-8, KW-7158
RL: PAC (Pharmacological activity); BIOL (Biological study)
(KW-7158 enhances A-type potassium currents in neurons of dorsal root ganglion of adult rat)
RN 214764-26-8 CAPLUS
CN Propanamide, N-(4,10-dihydro-5,5-dioxido-10-oxothieno[3,2-c][1]benzothiepin-9-yl)-3,3,3-trifluoro-2-hydroxy-2-methyl-, (2S)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



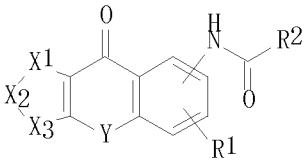
RE.CNT 41 THERE ARE 41 CITED REFERENCES AVAILABLE FOR THIS RECORD

ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 12 OF 20 CAPLUS COPYRIGHT 2009 ACS on STN
AN 2003:396713 CAPLUS
DN 138:379274
TI Preventive or remedy for pruritus
IN Hayashi, Ken-ichi; Ichikawa, Shunji; Karasawa, Akira
PA Kyowa Hakko Kogyo Co., Ltd., Japan
SO PCT Int. Appl., 33 pp.
CODEN: PIXXD2
DT Patent
LA Japanese
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2003041704	A1	20030522	WO 2002-JP11830	20021113
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	CA 2466790	A1	20030522	CA 2002-2466790	20021113
	AU 2002349539	A1	20030526	AU 2002-349539	20021113
	EP 1444980	A1	20040811	EP 2002-783557	20021113
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK				
	JP 4022519	B2	20071219	JP 2003-543591	20021113
	US 20040248926	A1	20041209	US 2004-495366	20040512
PRAI	JP 2001-347253	A	20011113		
	WO 2002-JP11830	W	20021113		

OS MARPAT 138:379274
GI



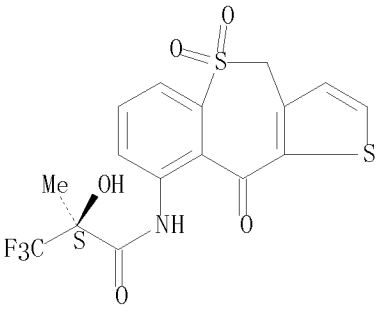
I

AB A pruritus preventive or remedy which contains as an active ingredient either a tricyclic compound represented by the following formula (I): I [wherein R1 is hydrogen, (un)substituted lower alkyl, (un)substituted lower alkoxy, or halogeno; X1-X2-X3 is CR5 = CR6-CR7 = CR8, N(O)m = CR6-CR7 = CR8, CR5 = CR6-N(O)m = CR8, CR5 = CR6-CR7 = N(O)m, CR5 = CR6-O, CR5 = CR6-S, O-CR7 = CR8, S-CR7 = CR8, or O-CR7 = N; and Y is -CH2S-, -CH2SO-, -CH2SO2-, -CH2O-, -CH = CH-, -(CH2)p-, -SCH2-, -SOCH2-, -SO2CH2-, or -OCH2-] or a pharmaceutically acceptable salt of the compound This application belongs to the medicinal field.

IT 214764-26-8
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(tricyclic compds. as preventives or remedies for pruritus)

RN 214764-26-8 CAPLUS
CN Propanamide, N-(4,10-dihydro-5,5-dioxido-10-oxothieno[3,2-c][1]benzothiepin-9-yl)-3,3,3-trifluoro-2-hydroxy-2-methyl-, (2S)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

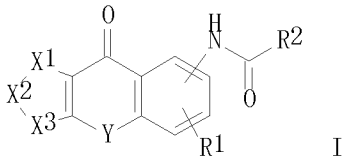


RE.CNT 48 THERE ARE 48 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 13 OF 20 CAPLUS COPYRIGHT 2009 ACS on STN
AN 2002:777737 CAPLUS
DN 137:289045
TI Remedies for vesical stimulation in association with prostatauxe
IN Yamagata, Tsuyoshi; Atsuki, Kaoru; Ohno, Tetsuji; Shirakura, Shiro; Karasawa, Akira
PA Kyowa Hakko Kogyo Co., Ltd., Japan
SO PCT Int. Appl., 35 pp.
CODEN: PIXXD2
DT Patent
LA Japanese
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002078712	A1	20021010	WO 2002-JP3169	20020329
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	CA 2442472	A1	20021010	CA 2002-2442472	20020329
	AU 2002244945	A1	20021015	AU 2002-244945	20020329
	EP 1380299	A1	20040114	EP 2002-713257	20020329
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
	HU 2003003610	A2	20040301	HU 2003-3610	20020329
	HU 2003003610	A3	20050228		
	BR 2002008416	A	20040302	BR 2002-8416	20020329
	CN 1499974	A	20040526	CN 2002-807707	20020329
	CN 1230178	C	20051207		
	JP 4104986	B2	20080618	JP 2002-576978	20020329
	US 20040110784	A1	20040610	US 2003-472148	20030922
	US 7354949	B2	20080408		
	MX 2003008815	A	20040217	MX 2003-8815	20030926
	NO 2003004357	A	20031124	NO 2003-4357	20030929
	IN 2003CN01533	A	20051125	IN 2003-CN1533	20030929
	HK 1064616	A1	20060413	HK 2004-107683	20041007
PRAI	JP 2001-99799	A	20010330		
	WO 2002-JP3169	W	20020329		

OS MARPAT 137:289045
GI

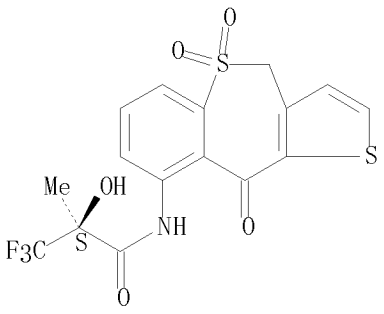


I

AB Remedies for vesical stimulation in association with prostatauxe which contain as the active ingredient tricyclic compds. represented by the following general formula I (R1 = H, optionally substituted lower alkyl, etc.; X1-X2-X3 = CR5=CR6-CR7=CR8, CR5=CR6-S, etc.; Y = -CH2S-, SOCH2, etc.; and R2 = H, etc.) or pharmacol. acceptable salts thereof.
IT 214764-26-8
RL: ADV (Adverse effect, including toxicity); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(remedies for vesical stimulation in association with prostatauxe)
RN 214764-26-8 CAPLUS

CN Propanamide, N-(4,10-dihydro-5,5-dioxido-10-oxothieno[3,2-c][1]benzothiepin-9-yl)-3,3,3-trifluoro-2-hydroxy-2-methyl-, (2S)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

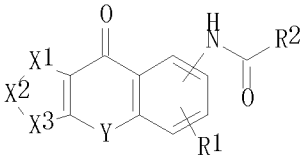


RE.CNT 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 14 OF 20 CAPLUS COPYRIGHT 2009 ACS on STN
AN 2002:777736 CAPLUS
DN 137:289044
TI Remedies for vesical hyperesthesia
IN Yamagata, Tsuyoshi; Atsuki, Kaoru; Ohno, Tetsuji; Shirakura, Shiro; Karasawa, Akira
PA Kyowa Hakko Kogyo Co., Ltd., Japan
SO PCT Int. Appl., 30 pp.
CODEN: PIXXD2
DT Patent
LA Japanese
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002078711	A1	20021010	WO 2002-JP3168	20020329
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	CA 2442468	A1	20021010	CA 2002-2442468	20020329
	AU 2002244944	A1	20021015	AU 2002-244944	20020329
	EP 1384481	A1	20040128	EP 2002-713256	20020329
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
	HU 2003003609	A2	20040301	HU 2003-3609	20020329
	HU 2003003609	A3	20051128		
	CN 1498109	A	20040519	CN 2002-806801	20020329
	BR 2002008412	A	20040803	BR 2002-8412	20020329
	US 20040106671	A1	20040603	US 2003-472144	20030922
	MX 2003008814	A	20040217	MX 2003-8814	20030926
	NO 2003004358	A	20031128	NO 2003-4358	20030929
	IN 2003CN01534	A	20051125	IN 2003-CN1534	20030929
PRAI	JP 2001-99800	A	20010330		
	WO 2002-JP3168	W	20020329		

OS MARPAT 137:289044
GI



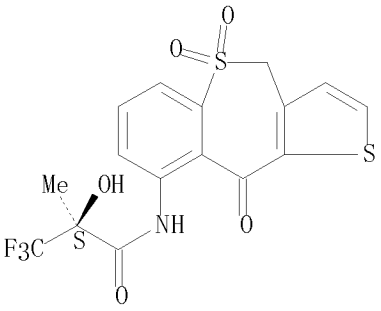
I

AB Remedies for vesical hyperesthesia which contain as the active ingredient tricyclic compds. represented by the following general formula I (R1 = H, optionally substituted lower alkyl, etc.; X1-X2-X3 = CR5=CR6-CR7=CR8, CR5=CR6-S, etc.; Y = -CH2S-, SOCH2, etc.; and R2 = H, etc.) or pharmacol. acceptable salts thereof.

IT 214764-26-8
RL: ADV (Adverse effect, including toxicity); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(remedies for vesical hyperesthesia)

RN 214764-26-8 CAPLUS
CN Propanamide, N-(4,10-dihydro-5,5-dioxido-10-oxothieno[3,2-c][1]benzothiepin-9-yl)-3,3,3-trifluoro-2-hydroxy-2-methyl-, (2S)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

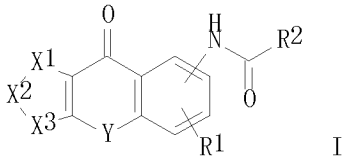


RE.CNT 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 15 OF 20 CAPLUS COPYRIGHT 2009 ACS on STN
AN 2002:777735 CAPLUS
DN 137:289043
TI Remedies for vesical hyperactivity
IN Yamagata, Tsuyoshi; Atsuki, Kaoru; Ohno, Tetsuji; Shirakura, Shiro; Karasawa, Akira
PA Kyowa Hakko Kogyo Co., Ltd., Japan
SO PCT Int. Appl., 37 pp.
CODEN: PIXXD2
DT Patent
LA Japanese
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002078710	A1	20021010	WO 2002-JP3167	20020329
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	CA 2442463	A1	20021010	CA 2002-2442463	20020329
	AU 2002244943	A1	20021015	AU 2002-244943	20020329
	EP 1384480	A1	20040128	EP 2002-713255	20020329
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
	HU 2003003608	A2	20040301	HU 2003-3608	20020329
	HU 2003003608	A3	20070628		
	BR 2002008420	A	20040330	BR 2002-8420	20020329
	CN 1498110	A	20040519	CN 2002-806802	20020329
	US 20040116459	A1	20040617	US 2003-472143	20030922
	US 7276532	B2	20071002		
	MX 2003008725	A	20040405	MX 2003-8725	20030925
	NO 2003004356	A	20031127	NO 2003-4356	20030929
	IN 2003CN01535	A	20051125	IN 2003-CN1535	20030929
PRAI	JP 2001-99801	A	20010330		
	WO 2002-JP3167	W	20020329		

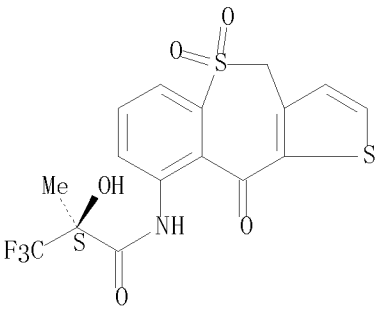
OS MARPAT 137:289043
GI



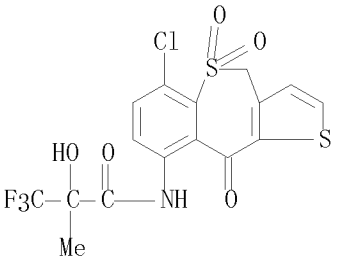
I

AB Remedies for vesical hyperactivity which contain as the active ingredient tricyclic compds. represented by the following general formula I (R1 = H, optionally substituted lower alkyl, etc.; X1-X2-X3 = CR5=CR6-CR7=CR8, CR5=CR6-S, etc.; Y = -CH2S-, SOCH2, etc.; and R2 = H, etc.) or pharmacol. acceptable salts thereof.
IT 214764-26-8 214764-36-0
RL: ADV (Adverse effect, including toxicity); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(remedies for vesical hyperactivity)
RN 214764-26-8 CAPLUS
CN Propanamide, N-(4,10-dihydro-5,5-dioxido-10-oxothieno[3,2-c][1]benzothiepin-9-yl)-3,3,3-trifluoro-2-hydroxy-2-methyl-, (2S)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



RN 214764-36-0 CAPLUS
CN Propanamide, N-(6-chloro-4,10-dihydro-5,5-dioxido-10-oxothieno[3,2-c][1]benzothiepin-9-yl)-3,3,3-trifluoro-2-hydroxy-2-methyl- (CA INDEX NAME)



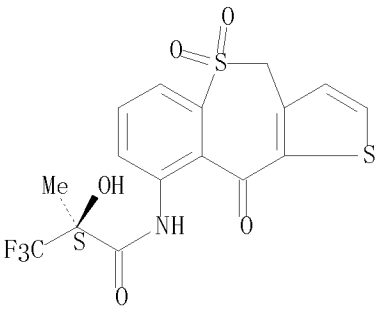
RE.CNT 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 16 OF 20 CAPLUS COPYRIGHT 2009 ACS on STN
AN 2002:777655 CAPLUS
DN 137:273240
TI (S)-N-(5,5-dioxido-10-oxo-4, 10-dihydrothieno[3,2-C][1]benzothiepin-9-yl)-3,3,3-trifluoro-2-hydroxy-2-methylpropanamide for the treatment of bladder irritative symptoms accompanied by benign prostatic hyperplasia
IN Yamagata, Tsuyoshi; Atsuki, Kaoro; Ohno, Tetsuji; Shirakura, Shiro; Degroat, William C.; Yoshimura, Naoki; Sculptoreanu, Adrian
PA Kyowa Hakko Kogyo Co., Ltd., Japan; University of Pittsburgh
SO PCT Int. Appl., 37 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002078633	A2	20021010	WO 2002-US9575	20020329
	WO 2002078633	A3	20030724		
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	CA 2442452	A1	20021010	CA 2002-2442452	20020329
	AU 2002338234	A1	20021015	AU 2002-338234	20020329
	EP 1372639	A2	20040102	EP 2002-757832	20020329
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
	JP 2004529133	T	20040924	JP 2002-576901	20020329
	US 20040122078	A1	20040624	US 2003-473348	20030930
	US 7122173	B2	20061017		
	US 20060276531	A1	20061207	US 2006-503067	20060814
PRAI	US 2001-279699P	P	20010330		
	WO 2002-US9575	W	20020329		
	US 2003-473348	A3	20030930		

AB The invention provides an agent, (S)-(N-(5,5-dioxido-10-oxo-4,10-dihydrothieno[3,2-C][1]benzothiepin-9-yl)-3,3,3-trifluoro-2-hydroxy-2-methylpropanamide) for the treatment of bladder irritative symptoms accompanied by benign prostatic hyperplasia, comprising, as an active ingredient, a compound having a slowly-inactivating A-type K+ channel opening activity or a pharmaceutically acceptable salt thereof, and a method for screening agents for the treatment of bladder irritative symptoms accompanied by benign prostatic hyperplasia, comprising measuring a slowly-inactivating A-type K+ channel opening activity as an index.
IT 214764-26-8
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
((S)-N-(5,5-dioxido-10-oxo-4, 10-dihydrothieno[3,2-C][1]benzothiepin-9-yl)-3,3,3-trifluoro-2-hydroxy-2-methylpropanamide for treatment of bladder irritative symptoms accompanied by benign prostatic hyperplasia)
RN 214764-26-8 CAPLUS
CN Propanamide, N-(4,10-dihydro-5,5-dioxido-10-oxothieno[3,2-c][1]benzothiepin-9-yl)-3,3,3-trifluoro-2-hydroxy-2-methyl-, (2S)- (CA INDEX NAME)

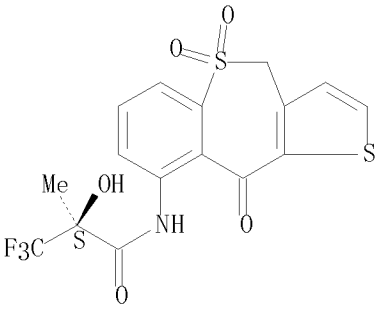
Absolute stereochemistry. Rotation (+).



RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 17 OF 20 CAPLUS COPYRIGHT 2009 ACS on STN
AN 2002:547845 CAPLUS
DN 138:215150
TI Effect of KW-7158, a putative afferent nerve inhibitor, on bladder and vesico-vascular reflexes in rats
AU Lu, Shing-Hwa; Yamagata, Tsuyoshi; Atsuki, Kaoru; Sun, Lushen; Smith, Christopher P.; Yoshimura, Naoki; Chancellor, Michael B.; de Groat, William C.
CS Department of Pharmacology, University of Pittsburgh School of Medicine, Pittsburgh, PA, 15261, USA
SO Brain Research (2002), 946(1), 72-78
CODEN: BRREAP; ISSN: 0006-8993
PB Elsevier Science B.V.
DT Journal
LA English
AB The effects of KW-7158, a putative afferent nerve inhibitor, on reflex bladder activity and vesico-vascular reflexes were evaluated in urethane anesthetized SD rats with normal and xylene-irritated bladders. The bladder was filled with saline until the appearance of large amplitude spontaneous bladder contractions (LA-BC). Vesico-vascular reflexes were measured as increases in systolic arterial blood pressure during LA-BC or when the bladder was distended by a range of pressures. In normal rats, KW-7158 (10 and 100 μg/kg, i.v.) did not alter the amplitude or volume threshold for inducing LA-BC but increased the intercontraction interval. After xylene-irritation, which decreased volume threshold and intercontraction interval and induced small amplitude bladder contractions, KW-7158 increased volume threshold (65%) and intercontraction interval (150%) and decreased the number of small amplitude bladder contractions. Vesico-vascular reflexes induced during LA-BC or by bladder distension were suppressed (19.4-100%) by KW-7158. The effect of KW-7158 to depress vesico-vascular reflexes as well as xylene-induced bladder hyperactivity without altering the amplitude of contractions is consistent with the view that the drug affects reflex bladder activity at least in part by depressing afferent pathways.
IT 214764-26-8, KW 7158
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(effect of KW-7158, a putative afferent nerve inhibitor, on bladder and vesico-vascular reflexes in rats)
RN 214764-26-8 CAPLUS
CN Propanamide, N-(4,10-dihydro-5,5-dioxido-10-oxothieno[3,2-c][1]benzothiepin-9-yl)-3,3,3-trifluoro-2-hydroxy-2-methyl-, (2S)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

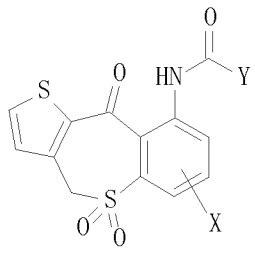


RE.CNT 27 THERE ARE 27 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

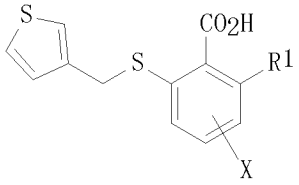
L4 ANSWER 18 OF 20 CAPLUS COPYRIGHT 2009 ACS on STN
AN 2002:129132 CAPLUS
DN 136:183808
TI Method for preparation of 9-amino-4,10-dihydrothieno[3,2-c][1]benzothiepin-10-one derivatives via Friedel-Crafts cyclization of 2-(3-thienylmethylthio)benzoic acid derivatives .
IN Imai, Eiichiro; Mimura, Takashi; Matsushita, Tetsuo; Mori, Shinichiro; Ogasa, Takehiro
PA Kyowa Hakko Kogyo Co., Ltd., Japan
SO Jpn. Kokai Tokkyo Koho, 20 pp.
CODEN: JKXXAF
DT Patent
LA Japanese
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI JP 2002053580	A	20020219	JP 2000-241112	20000809
PRAI JP 2000-241112		20000809		

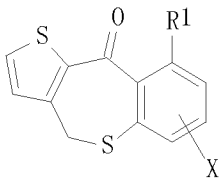
OS CASREACT 136:183808; MARPAT 136:183808
GI



I



II



III

AB The title compds. [I; X = H, (un)substituted lower alkyl or alkoxy, halo; Y = H, (un)substituted lower alkyl or alkenyl, CF3, (un)substituted lower alkoxy, NH2, (un)substituted mono- or di(lower alkyl)amino, (un)substituted aryl, heteroaryl, aralkyl, arylamino, or alicyclic heterocyclyl, (CH2)nCP1(P2)Q; wherein n = 0,1; P1, P2 = H, (un)substituted lower alkyl, cycloalkyl, aryl, or aralkyl, CF3; or P1 and P2 are combined together to form cycloalkyl; Q = HO, (un)substituted lower alkoxy, NH2, halo] are prepared by Friedel-Crafts reaction of 2-(3-thienylmethylthio)benzoic acid derivs. (II; R1 = halo, NO2; X = same as above) or salts thereof for cyclization to give 4,10-dihydrothieno[3,2-c][1]benzothiepin-10-one derivs. (III; R1, X = same as above). This process is industrially suitable and readily gives in high yields the compds. I which are useful as therapeutic agents for urinary incontinence (no data). Thus, chlorination of 3-thiophenemethanol by SOCl2 in at room temperature for 1 h in toluene followed washing with 1.27 M aqueous NaOH gave a toluene solution of 3-chloromethylthiophene which was stirred with 2-fluoro-6-mercaptobenzoic acid and aqueous NaOH at 40° for 1 h to give 89% 2-fluoro-6-(3-thienylmethylthio)benzoic acid (IV). A toluene solution of IV was treated dropwise with trifluoroacetic anhydride under ice-cooling and stirred at the same temperature for 1 h and then at 40° for 1 h to give 85% 9-fluoro-4,10-dihydrothieno[3,2-c][1]benzothiepin-10-one which was heated with benzylamine under stirring at 80° for 6 h

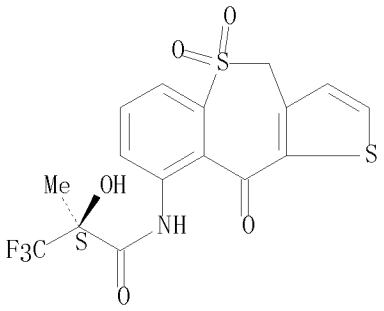
to give 96% 9-benzylamino-4,10-dihydrothieno[3,2-c][1]benzothiepin-10-one (V). An EtOAc solution of V was treated with an EtOAc solution of 2,3-dichloro-5,6-dicyano-1,4-benzoquinone at 40° and stirred at the same temperature for 2 h to give 87% 9-amino-4,10-dihydrothieno[3,2-c][1]benzothiepin-10-one (VI). A solution of (S)-(+)-3,3,3-trifluoro-2-hydroxy-2-methylpropanoic acid was treated with SOCl2 at -15° and stirred at -15° to -5° for 1 h, followed by adding VI, and the resulting mixture was stirred at room temperature overnight to give 63% (S)-9-[(3,3,3-trifluoro-2-hydroxy-2-methylpropanoyl)amino]-4,10-dihydrothieno[3,2-c][1]benzothiepin-10-one which was oxidized by m-chloroperbenzoic acid in CH2Cl2 at room temperature for 3 h to give (S)-5,5-dioxo-9-[(3,3,3-trifluoro-2-hydroxy-2-methylpropanoyl)amino]-4,10-dihydrothieno[3,2-c][1]benzothiepin-10-one.

IT 214764-26-8P, (S)-5,5-Dioxo-9-[(3,3,3-trifluoro-2-hydroxy-2-methylpropanoyl)amino]-4,10-dihydrothieno[3,2-c][1]benzothiepin-10-one
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(method for preparation of 9-aminodihydrothieno[c][1]benzothiepinone derivs. via Friedel-Crafts cyclization of (3-thienylmethylthio)benzoic acid derivs.)

RN 214764-26-8 CAPLUS

CN Propanamide, N-(4,10-dihydro-5,5-dioxido-10-oxothieno[3,2-c][1]benzothiepin-9-yl)-3,3,3-trifluoro-2-hydroxy-2-methyl-, (2S)- (CA INDEX NAME)

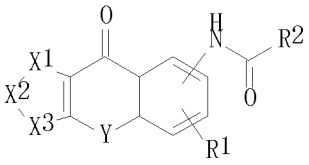
Absolute stereochemistry. Rotation (+).



L4 ANSWER 19 OF 20 CAPLUS COPYRIGHT 2009 ACS on STN
AN 2001:240151 CAPLUS
DN 134:261274
TI Tricyclic compounds for the treatment of pollakiuria and urinary incontinence, pharmaceutical compositions, and preparation thereof
IN Yoshida, Makoto; Seishi, Takashi; Aono, Shigeru; Yamagata, Tsuyoshi; Atsuki, Kaoru; Kumazawa, Toshiaki; Takai, Haruki; Suzuki, Koji; Karasawa, Akira
PA Kyowa Hakko Kogyo Co., Ltd., Japan
SO U.S., 30 pp., Cont.-in-part of PCT Appl. WO98JP/01713.
CODEN: USXXAM
DT Patent
LA English
FAN.CNT 2

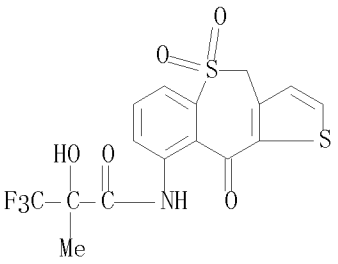
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 6211227	B1	20010403	US 1999-417626	19991014
	WO 9846587	A1	19981022	WO 1998-JP1713	19980415
	W: AU, BG, BR, CA, CN, CZ, HU, IL, JP, KR, MX, NO, NZ, PL, RO, SG, SI, SK, UA, US, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
PRAI	JP 1997-97233	A	19970415		
	WO 1998-JP1713	A2	19980415		

OS MARPAT 134:261274
GI



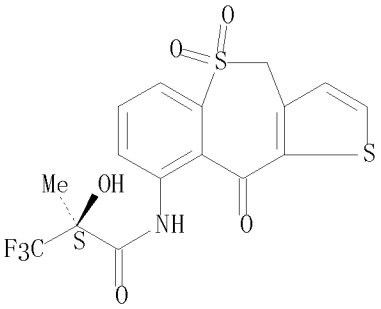
I

AB Tricyclic compds. are provided which are useful for the treatment of pollakiuria and urinary incontinence and which are represented by I [R1 = H, (un)substituted lower alkyl, etc.; X1X2X3 = C(R5):C(R6)C(R7):CR8; (R5-R8 = H, (un)substituted lower alkyl, OH, (un)substituted lower alkoxy, etc.), N(O)m:C(R5)C(R6):C(R7) (R5-R7 as above; m = 0, 1), SC(R7):C(R8) (R7, R8 as above), etc.; when R2 is H, (un)substituted lower alkyl, (un)substituted lower alkoxy, (un)substituted N-substituted heterocyclyl, (CH2)nC(Q)(R3)(R4) (n = 0, 1; R3, R4 = H, (un)substituted lower alkyl, CF3, etc., or R3 and R4 may be combined to form cyclic alkyl; Q = OH, halogen, etc.), etc.; Y = CH2SO2, SCH2, SOCH2, SO2CH2, etc.] and pharmaceutically acceptable salts thereof.
IT 214763-95-8P 214764-26-8P 214764-27-9P
214764-29-1P 214764-30-4P 214764-34-8P
214764-36-0P 214764-38-2P 332029-02-4P
332029-03-5P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(tricyclic compound preparation for treatment of pollakiuria and urinary incontinence)
RN 214763-95-8 CAPLUS
CN Propanamide, N-(4,10-dihydro-5,5-dioxido-10-oxothieno[3,2-c][1]benzothiepin-9-yl)-3,3,3-trifluoro-2-hydroxy-2-methyl- (CA INDEX NAME)



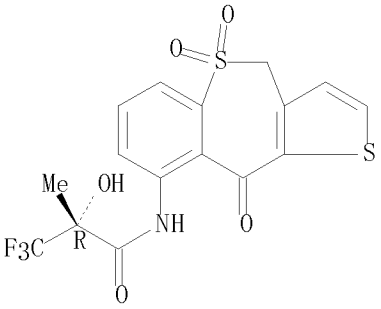
RN 214764-26-8 CAPLUS
CN Propanamide, N-(4,10-dihydro-5,5-dioxido-10-oxothieno[3,2-c][1]benzothiepin-9-yl)-3,3,3-trifluoro-2-hydroxy-2-methyl-, (2S)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

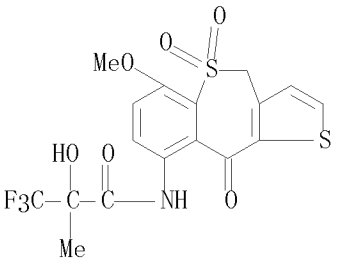


RN 214764-27-9 CAPLUS
CN Propanamide, N-(4,10-dihydro-5,5-dioxido-10-oxothieno[3,2-c][1]benzothiepin-9-yl)-3,3,3-trifluoro-2-hydroxy-2-methyl-, (2R)- (CA INDEX NAME)

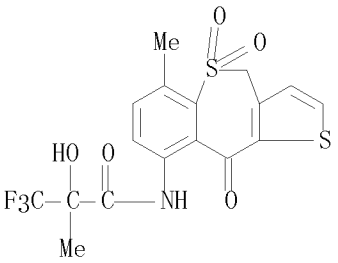
Absolute stereochemistry. Rotation (-).



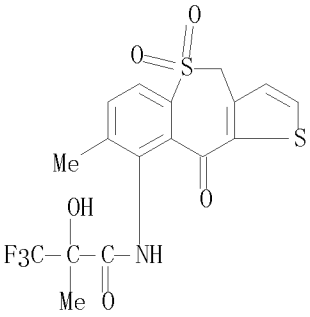
RN 214764-29-1 CAPLUS
CN Propanamide, N-(4,10-dihydro-6-methoxy-5,5-dioxido-10-oxothieno[3,2-c][1]benzothiepin-9-yl)-3,3,3-trifluoro-2-hydroxy-2-methyl- (CA INDEX NAME)



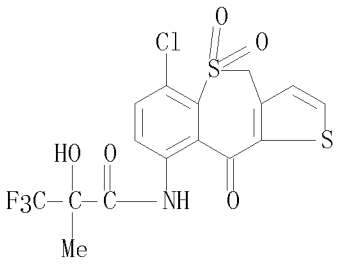
RN 214764-30-4 CAPLUS
CN Propanamide, N-(4,10-dihydro-6-methyl-5,5-dioxido-10-oxothieno[3,2-c][1]benzothiepin-9-yl)-3,3,3-trifluoro-2-hydroxy-2-methyl- (CA INDEX NAME)



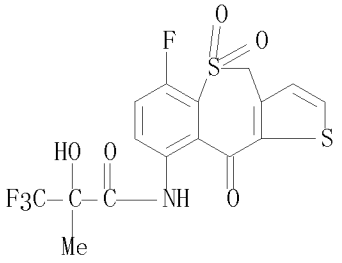
RN 214764-34-8 CAPLUS
CN Propanamide, N-(4,10-dihydro-8-methyl-5,5-dioxido-10-oxothieno[3,2-c][1]benzothiepin-9-yl)-3,3,3-trifluoro-2-hydroxy-2-methyl- (CA INDEX NAME)



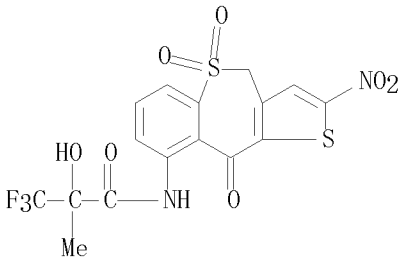
RN 214764-36-0 CAPLUS
CN Propanamide, N-(6-chloro-4,10-dihydro-5,5-dioxido-10-oxothieno[3,2-c][1]benzothiepin-9-yl)-3,3,3-trifluoro-2-hydroxy-2-methyl- (CA INDEX NAME)



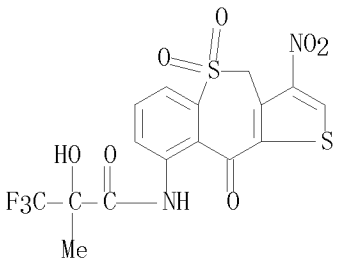
RN 214764-38-2 CAPLUS
CN Propanamide, 3,3,3-trifluoro-N-(6-fluoro-4,10-dihydro-5,5-dioxido-10-oxothieno[3,2-c][1]benzothiepin-9-yl)-2-hydroxy-2-methyl- (CA INDEX NAME)



RN 332029-02-4 CAPLUS
CN Propanamide, N-(4,10-dihydro-2-nitro-5,5-dioxido-10-oxothieno[3,2-c][1]benzothiepin-9-yl)-3,3,3-trifluoro-2-hydroxy-2-methyl- (CA INDEX NAME)



RN 332029-03-5 CAPLUS
CN Propanamide, N-(4,10-dihydro-3-nitro-5,5-dioxido-10-oxothieno[3,2-c][1]benzothiepin-9-yl)-3,3,3-trifluoro-2-hydroxy-2-methyl- (CA INDEX NAME)

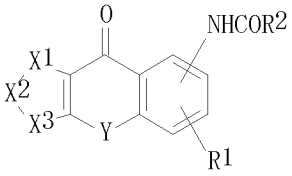


RE.CNT 15 THERE ARE 15 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

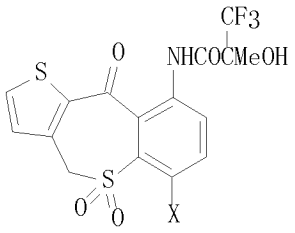
L4 ANSWER 20 OF 20 CAPLUS COPYRIGHT 2009 ACS on STN
AN 1998:708807 CAPLUS
DN 129:316211
OREF 129:64531a,64534a
TI Preparation of tricyclic heterocyclic compounds for the treatment of pollakiuria and enuresis
IN Yoshida, Makoto; Seishi, Takashi; Aono, Shigeru; Takai, Haruki; Suzuki, Koji; Yamagata, Tsuyoshi; Atsuki, Kaoru; Karasawa, Akira; Kumazawa, Toshiaki
PA Kyowa Hakko Kogyo Co., Ltd., Japan
SO PCT Int. Appl., 70 pp.
CODEN: PIXXD2
DT Patent
LA Japanese
FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9846587	A1	19981022	WO 1998-JP1713	19980415
	W: AU, BG, BR, CA, CN, CZ, HU, IL, JP, KR, MX, NO, NZ, PL, RO, SG, SI, SK, UA, US, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
	CA 2286723	A1	19981022	CA 1998-2286723	19980415
	CA 2286723	C	20070130		
	AU 9868515	A	19981111	AU 1998-68515	19980415
	AU 738757	B2	20010927		
	EP 979821	A1	20000216	EP 1998-914022	19980415
	EP 979821	B1	20060913		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI, CY				
	BR 9808552	A	20000523	BR 1998-8552	19980415
	HU 2000002461	A2	20010628	HU 2000-2461	19980415
	HU 2000002461	A3	20030128		
	JP 3283267	B2	20020520	JP 1998-543737	19980415
	CN 1260220	C	20060621	CN 1998-804964	19980415
	AT 339409	T	20061015	AT 1998-914022	19980415
	ES 2273413	T3	20070501	ES 1998-914022	19980415
	NO 9904960	A	19991209	NO 1999-4960	19991012
	US 6211227	B1	20010403	US 1999-417626	19991014
	HK 1025572	A1	20070427	HK 2000-104846	20000802
PRAI	JP 1997-97233	A	19970415		
	WO 1998-JP1713	W	19980415		

OS MARPAT 129:316211
GI



I



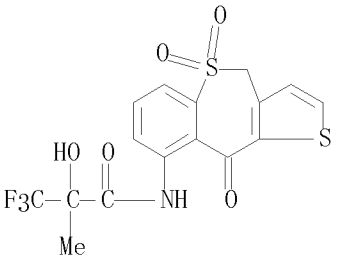
II

AB Tricyclic compds. of general formula (I) and pharmacol. acceptable salts thereof [wherein R1 is hydrogen, halo, substituted or unsubstituted lower alkyl or alkoxy; X1-X2-X3 is CR5:CR6CR7:CR8 (wherein R5, R6, R7 and R8 are each independently hydrogen, substituted or unsubstituted lower alkyl, hydroxyl, substituted or unsubstituted lower alkoxy or the like), N(O)m:CR5CR6CR7 (wherein R5, R6 and R7 are each the same as defined above; and m is 0 to 1), SCR7:CR8 (wherein R7 and R8 are each the same as defined above) or the like; R2 is hydrogen, substituted or unsubstituted lower

alkyl, substituted or unsubstituted lower alkoxy, a substituted or unsubstituted N-substituted heterocyclic group or a group of general formula (CH2)nC(Q)R3R4; (wherein n is 0 or 1; R3 and R4 are each independently hydrogen, substituted or unsubstituted lower alkyl, trifluoromethyl or the like, or alternatively R3 and R4 may be united to form cyclic alkyl; and Q is hydroxyl, halogeno or the like); and Y is CH2SO2, SCH2, SOCH2, SO2CH2 or the like] are prepared Thus, 3,3,3-trifluoro-2-hydroxy-2-methylpropanoic acid was stirred with SOCl2 in dimethylacetamide at .apprx.-15° to .apprx.5° for 1 h and the condensed with 9-amino-4,10-dihydrothieno[3,2-c][1]benzothiepin-10-one at room temperature overnight followed by oxidation with m-chloroperbenzoic acid in CH2Cl2 to give the title compound, 4,10-dihydrothieno[3,2-c][1]benzothiepin-10-one derivative (II; X = H). II (X = H) and II (X = Cl) in vitro inhibited the KCl (15 mmol/L)-induced contraction of rat bladder muscle strips with IC50 of 2.6 and 0.7 μM, resp., and in vivo prolonged the contraction intervals of rat bladder by 203±21and 148±3%,resp., 5 h after the administration of these compds.

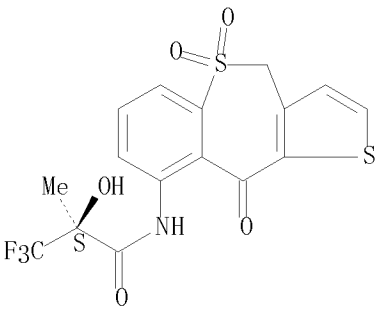
IT 214763-95-8P 214764-26-8P 214764-27-9P
214764-29-1P 214764-30-4P 214764-34-8P
214764-36-0P 214764-38-2P 214764-51-9P
214764-52-0P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of tricyclic heterocyclic compds. for treatment of pollakiuria and enuresis)

RN 214763-95-8 CAPLUS
CN Propanamide, N-(4,10-dihydro-5,5-dioxido-10-oxothieno[3,2-c][1]benzothiepin-9-yl)-3,3,3-trifluoro-2-hydroxy-2-methyl- (CA INDEX NAME)



RN 214764-26-8 CAPLUS
CN Propanamide, N-(4,10-dihydro-5,5-dioxido-10-oxothieno[3,2-c][1]benzothiepin-9-yl)-3,3,3-trifluoro-2-hydroxy-2-methyl-, (2S)- (CA INDEX NAME)

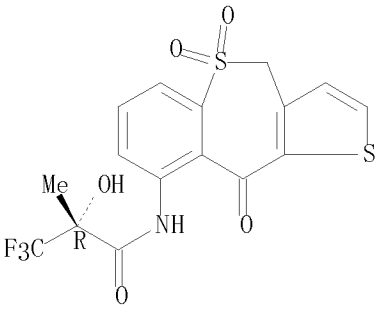
Absolute stereochemistry. Rotation (+).



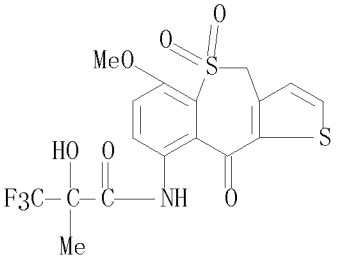
RN 214764-27-9 CAPLUS
CN Propanamide, N-(4,10-dihydro-5,5-dioxido-10-oxothieno[3,2-

c][1]benzothiepin-9-yl)-3,3,3-trifluoro-2-hydroxy-2-methyl-, (2R)- (CA INDEX NAME)

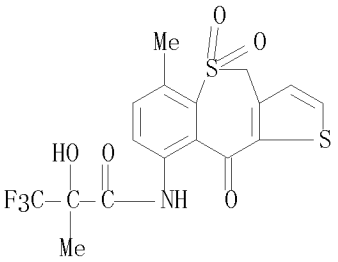
Absolute stereochemistry. Rotation (-).



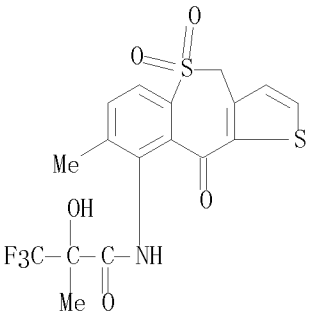
RN 214764-29-1 CAPLUS
CN Propanamide, N-(4,10-dihydro-6-methoxy-5,5-dioxido-10-oxothieno[3,2-c][1]benzothiepin-9-yl)-3,3,3-trifluoro-2-hydroxy-2-methyl- (CA INDEX NAME)



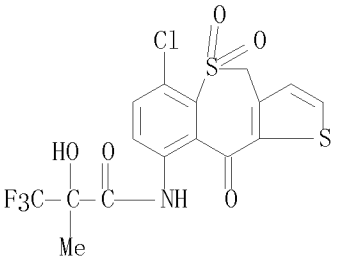
RN 214764-30-4 CAPLUS
CN Propanamide, N-(4,10-dihydro-6-methyl-5,5-dioxido-10-oxothieno[3,2-c][1]benzothiepin-9-yl)-3,3,3-trifluoro-2-hydroxy-2-methyl- (CA INDEX NAME)



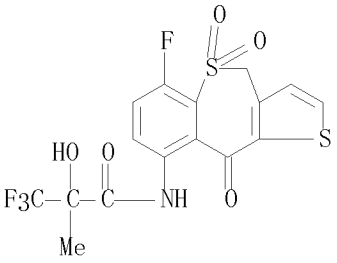
RN 214764-34-8 CAPLUS
CN Propanamide, N-(4,10-dihydro-8-methyl-5,5-dioxido-10-oxothieno[3,2-c][1]benzothiepin-9-yl)-3,3,3-trifluoro-2-hydroxy-2-methyl- (CA INDEX NAME)



RN 214764-36-0 CAPLUS
CN Propanamide, N-(6-chloro-4,10-dihydro-5,5-dioxido-10-oxothieno[3,2-c][1]benzothiepin-9-yl)-3,3,3-trifluoro-2-hydroxy-2-methyl- (CA INDEX NAME)

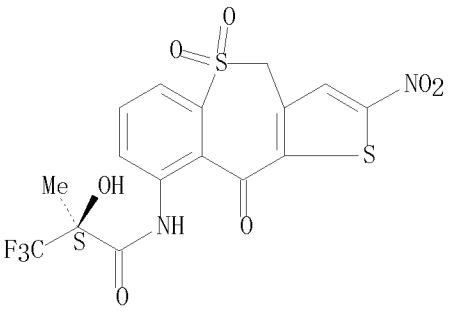


RN 214764-38-2 CAPLUS
CN Propanamide, 3,3,3-trifluoro-N-(6-fluoro-4,10-dihydro-5,5-dioxido-10-oxothieno[3,2-c][1]benzothiepin-9-yl)-2-hydroxy-2-methyl- (CA INDEX NAME)



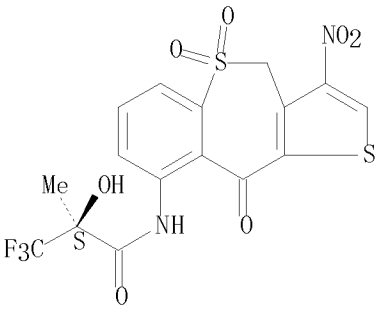
RN 214764-51-9 CAPLUS
CN Propanamide, N-(4,10-dihydro-2-nitro-5,5-dioxido-10-oxothieno[3,2-c][1]benzothiepin-9-yl)-3,3,3-trifluoro-2-hydroxy-2-methyl-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.



RN 214764-52-0 CAPLUS
CN Propanamide, N-(4,10-dihydro-3-nitro-5,5-dioxido-10-oxothieno[3,2-c][1]benzothiepin-9-yl)-3,3,3-trifluoro-2-hydroxy-2-methyl-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 23 THERE ARE 23 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

```
=> d his full

     (FILE 'HOME' ENTERED AT 19:52:11 ON 21 MAR 2009)

     FILE 'REGISTRY' ENTERED AT 19:52:22 ON 21 MAR 2009
L1              STRUCTURE UPLOADED
                D
L2            0 SEA SSS SAM L1
L3           16 SEA SSS FUL L1
                D QUE L3 STAT
                D 1-16 IDE CAN

     FILE 'CAPLUS' ENTERED AT 19:54:04 ON 21 MAR 2009
L4           20 SEA ABB=ON  PLU=ON  L3
                D 1-20 BIB ABS HITSTR


     FILE HOME

     FILE REGISTRY
     Property values tagged with IC are from the ZIC/VINITI data file
     provided by InfoChem.

     STRUCTURE FILE UPDATES:   20 MAR 2009  HIGHEST RN 1124448-78-7
     DICTIONARY FILE UPDATES:  20 MAR 2009  HIGHEST RN 1124448-78-7

     New CAS Information Use Policies, enter HELP USAGETERMS for details.

     TSCA INFORMATION NOW CURRENT THROUGH January 9, 2009.

       Please note that search-term pricing does apply when
       conducting SmartSELECT searches.

     REGISTRY includes numerically searchable data for experimental and
     predicted properties as well as tags indicating availability of
     experimental property data in the original document.  For information
     on property searching in REGISTRY, refer to:

     http://www.cas.org/support/stngen/stndoc/properties.html

     FILE CAPLUS

     Copyright of the articles to which records in this database refer is
     held by the publishers listed in the PUBLISHER (PB) field (available
     for records published or updated in Chemical Abstracts after December
     26, 1996), unless otherwise indicated in the original publications.
     The CA Lexicon is the copyrighted intellectual property of the
     American Chemical Society and is provided to assist you in searching
     databases on STN.  Any dissemination, distribution, copying, or storing
     of this information, without the prior written consent of CAS, is
     strictly prohibited.

     FILE COVERS 1907 - 21 Mar 2009  VOL 150 ISS 13
     FILE LAST UPDATED: 20 Mar 2009  (20090320/ED)

     Caplus now includes complete International Patent Classification (IPC)
     reclassification data for the third quarter of 2008.

     CAS Information Use Policies apply and are available at:

     http://www.cas.org/legal/infopolicy.html

     This file contains CAS Registry Numbers for easy and accurate
     substance identification.

=> log y
```

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	115.80	340.14

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	-16.40	-16.40

STN INTERNATIONAL LOGOFF AT 19:57:31 ON 21 MAR 2009